L10 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:431390 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

142:477067

TITLE:

30

SiRNA's containing ribose substitutes to which

lipophilic moieties may be attached

INVENTOR(S):

Manoharan, Muthiah; Kesavan, Venkitasamy; Rajeev,

Kallanthottathil G.

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 273 pp., Cont.-in-part of Appl.

No. PCT/US04/011829.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE				
US 2005107325 A1		20050519	US 2004-916185	20040810				
PRIORITY APPLN. INFO.:			US 2003-463772P	20030417				
			US 2003-465665P	20030425				
			US 2003-465802P	20030425				
			US 2003-469612P	20030509				
			US 2003-493986P	20030808				
			US 2003-494597P	20030811				
			US 2003-503414P	20030915				
			US 2003-506341P	20030926				
			US 2003-510246P	20031009				
			US 2003-510318P	20031010				
			US 2003-518453P	20031107				
			WO 2004-US7070	20040308				
			WO 2004-US10586	20040405				
			WO 2004-US11255	20040409				
	•		WO 2004-US11829	20040416				
			WO 2004-US11822	20040416				

OTHER SOURCE(S): MARPAT 142:477067

AB The invention relates to iRNA agents, which preferably include a monomer in which the ribose moiety has been replaced by a moiety other than ribose. The inclusion of such a monomer can allow for modulation of a property of the iRNA agent into which it is incorporated, e.g., by using the non-ribose moiety as a point to which a ligand or other entity, e.g., a lipophilic moiety. e.g., cholesterol, is is directly, or indirectly, tethered. The invention also relates to methods of making and using such modified iRNA agents.

IT 851912-69-1P 851912-70-4P 851912-71-5P 851912-72-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(siRNA's containing ribose substitutes to which lipophilic moieties may be attached)

RN 851912-69-1 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[[[2-[(2-hexadecyl-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl)oxy]ethoxy]carbonyl]oxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & \text{Me} \\
 & \text{Me} \\
 & \text{N-O-C-O-CH}_2\text{-CH}_2\text{-O} \\
 & \text{Me} \\$$

RN 851912-70-4 CAPLUS

CN Carbamic acid, [6-[(2S,4R)-2-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-4-hydroxy-1-pyrrolidinyl]-6-oxohexyl]-, 2-[((2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 851912-71-5 CAPLUS

CN Carbamic acid, [6-[(2S,4R)-2-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-4[[[bis(1-methylethyl)amino](2-cyanoethoxy)phosphino]oxy]-1-pyrrolidinyl]-6oxohexyl]-, 2-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 851912-72-6 CAPLUS

Butanedioic acid, mono[(3R,5S)-5-[[bis(4-methoxyphenyl)phenylmethoxy]methy 1]-1-[6-[[(2-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]ethoxy]carbonyl]amino]-1-oxohexyl]-3-pyrrolidinyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

L10 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:618733 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

141:174332

TITLE:

Preparation of tocopherols, tocotrienols, other

chroman and side chain derivatives for therapeutic use

in the prevention and treatment of cancer

INVENTOR(S):

Sanders, Bob G.; Kline, Kimberly; Hurley, Laurence; Gardner, Robb; Menchaca, Marla; Yu, Weiping; Ramanan,

Puthucode N.; Liu, Shenquan; Israel, Karen

PATENT ASSIGNEE(S):

Research Development Foundation, USA

SOURCE:

U.S., 48 pp., Cont.-in-part of U.S. Ser. No. 404,001.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	PATENT NO.						KIND DATE			APPLICATION NO.						DATE			
US	6770	672			B1	_	2004	0803		US :	2000-	5025	92			20000	211		
US	6417	223			B1		2002	0709		US .	1999-	4040	01			19990	923		
CA	2399	802			AA		2001	0816		CA :	2001-	2399	802			20010	209		
WO	2001	0588	89		A1		2001	0816		WO :	2001-1	US41	68		20010209				
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB	, BG,	BR,	BY,	BZ,	CA	, CH,	CN,		
		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES	, FI,	GB,	GD,	GE,	GH	, GM,	HR,		
		HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP	, KR,	KZ,	LC,	LK,	LR	, LS,	LT,		
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX	, MZ,	NO,	NZ,	PL,	PT	, RO,	RU,		
		SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR	, TT,	TZ,	UA,	UG,	UZ	, VN,	YU,		
		ZA,	ZW																
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ	, TZ,	UG,	ZW,	AT,	BE	, CH,	CY,		
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT	, LU,	MC,	NL,	PT,	SE	, TR,	BF,		
•		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	MĻ	, MR,	NE,	SN,	TD,	TG				
EP	1254	130			A1		2002	1106		EP :	2001-	9090	80			20010	209		
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE	, MC,	PT,		
		-		-	-		RO,	-											
	2004										2001-					20010			
	5207										2001-					20010	209		
	1529				А						2001-					20010			
	2263						2005				2002-					20010			
	2002						2002			US :	2001-	8066				20011	105		
	6703				B2		2004												
	2004				Al						2003-					20030			
•	2004				A1		2004	0520			2003-					20031			
PRIORIT'	Y APP	LN.	INFO	. :			•				1998-					19980			
											1999-					19990			
											1998-					19980			
											2000-					20000			
											2001-1					20010			
	011n ~=						1 4 1 .			US :	2001-	8066	-	j	43	20011	105		

OTHER SOURCE(S): MARPAT 141:174332

AB Chroman derivs., such as I [X = O, S, NR6; Y = O, NR6; Rl = carboxyalkyl, carboxyalkenyl, etc.; R2, R3, R4 = H, Me, alkyl, etc.; R5 = alkyl, alkenyl, etc.; R6 = H, alkyl], were prepared for use in antitumor pharmaceutical compns. for inducing apoptosis in a cell, particularly a cancer cell. Thus, α-tocopherol derivative II was prepared in 88% yield by a reaction of BrCH2CO2Me with (R,R,R)-α-tocopherol using NaOH in DMF. The prepared chromans were assayed for growth inhibitory and apoptotic activity against a variety of human cancer cell lines.

IT 261929-61-7P 261929-62-8P 261929-77-5P

261929-78-6P 354526-66-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tocopherols, tocotrienols, other chroman and side chain derivs. for therapeutic use in prevention and treatment of cancer)

RN 261929-61-7 CAPLUS

. CN Acetic acid, [[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 261929-62-8 CAPLUS

CN Glycine, N-(carboxymethyl)-N-[[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 261929-77-5 CAPLUS

CN 2-Propenoic acid, 3-[(2S)-6-(carboxymethoxy)-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 261929-78-6 CAPLUS

CN 2H-1-Benzopyran-2-propanoic acid, 6-(carboxymethoxy)-3,4-dihydro-2,5,7,8-tetramethyl-, α -methyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 354526-66-2 CAPLUS

CN Acetic acid, [{1,2,3,4-tetrahydro-1,2,5,7,8-pentamethyl-2-(4,8,12-trimethyltridecyl)-6-quinolinyl]oxy]- (9CI) (CA INDEX NAME)

IT 261929-79-7P 261929-84-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tocopherols, tocotrienols, other chroman and side chain derivs. for therapeutic use in prevention and treatment of cancer)

RN 261929-79-7 CAPLUS

CN Glycine, N-[[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]-N-(2-ethoxy-2-oxoethyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 261929-84-4 CAPLUS

CN Carbamic acid, [3-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

t-BuO
$$\frac{Me}{H}$$
 (CH₂)₃ $\frac{R}{Me}$ (CH₂)₃ $\frac{R}{Me}$ (CH₂)₃ $\frac{R}{Me}$

PAGE 1-B

354526-64-0P 354526-65-1P IT

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tocopherols, tocotrienols, other chroman and side chain derivs. for therapeutic use in prevention and treatment of cancer)

354526-64-0 CAPLUS RN

Acetic acid, [[1,2,3,4-tetrahydro-2,5,7,8-tetramethyl-2-(4,8,12trimethyltridecyl)-6-quinolinyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

354526-65-1 CAPLUS RN

Acetic acid, [[1,2,3,4-tetrahydro-1,2,5,7,8-pentamethyl-2-(4,8,12trimethyltridecyl)-6-quinolinyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

Me Me Me Me Me Me Me
$$I$$
 Me I Me

REFERENCE COUNT:

15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:511122 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

139:90452

TITLE: INVENTOR(S): Liposomal delivery of vitamin E based compounds Sanders, Bob G.; Kline, Kimberly; Lawson, Karla A.; Menchaca, Marla S.; Knight, J. Vernon; Wellen, Clyde

W.

PATENT ASSIGNEE(S):

Research Development Foundation, USA

SOURCE:

PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KINI)	DATE		i	APPL:	ICAT:		DATE				
						-											
WO 2	0030	J534() /		A1 20030703				, 1	NO ZI	002-1	20021219					
	W:	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
		DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,
		KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,
		MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TR,
		TT,	UA,	UG,	UZ,	VN,	YU,	ZA,	ZW								
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,

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KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,
            CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
    CA 2470920
                          AΑ
                                20030703
                                             CA 2002-2470920
                                                                    20021219
    AU 2002361812
                                20030709
                                            AU 2002-361812
                                                                    20021219
                          A1
                                20031225
    US 2003236301
                          A1
                                            US 2002~325352
                                                                    20021219
    EP 1463487
                          Al
                                20041006
                                             EP 2002-797447
                                                                    20021219
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
                                20050518
                                            CN 2002-827914
    CN 1617711
                          Α
                                                                    20021219
    JP 2005526705
                                20050908
                          T2
                                             JP 2003-554166
                                                                    20021219
PRIORITY APPLN. INFO.:
                                            US 2001-342156P
                                                                 P 20011219
                                            US 2002-406807P
                                                                 P 20020829
                                            US 2002-418602P
                                                                 P 20021015
                                            WO 2002-US40846
                                                                 W 20021219
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OTHER SOURCE(S): MARPAT 139:90452

The present invention provides a method for treating a cell proliferative disease by delivering a composition comprising a vitamin E based anticancer compound contained within a delivery vesicle of an individual in need of such treatment where the compound is I (R1 is H or a carboxylic acid; R2 and R3 are H or R4; R4 is Me and R5 is alkyl). Also provided is a vesicle comprising these compds. An examples is given for the preparation of 2,5,7,8-tetramethyl-[2R-(4R,8R,12-trimethyltridecyl)chroman-6-yloxy]acetic acid. Pharmacol. examples and liposome formulations are also given.

IT 552855-53-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(liposomal delivery of vitamin E based compds.)

RN 552855-53-5 CAPLUS

CN Acetic acid, [[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMATIONS AVAILABLE IN THE REFORMATIONS AVAILABLE.

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 200

2002:595501 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

137:140656

TITLE:

Preparation of tocopherols, tocotrienols, other chromans and side chain derivs. as potential antiproliferative and proapoptotic agents

INVENTOR(S):

Sanders, Bob G.; Kline, Kimberly; Yu, Weiping

PATENT ASSIGNEE(S):

Research Development Foundation, USA

SOURCE:

U.S. Pat. Appl. Publ., 44 pp., Cont.-in-part of U.S.

Ser. No. 502,592. CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 4

• 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002107207	A1	20020808	US 2001-8066	20011105
US 6703384	B2	20040309		
US 6417223	B1	20020709	US 1999-404001	19990923
CN 1706838	A	20051214	CN 2005-10003855	19990923
US 6770672	B1	20040803	US 2000-502592	20000211

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US 2002156024
                                20021024
                          Al
                                            US 2002-122019
                                                                    20020412
    US 6645998
                          B2
                                20031111
    WO 2003039461
                          A2
                                20030515
                                             WO 2002-US35147
                                                                    20021101
    WO 2003039461
                          A3
                                20031113
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
             KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
             MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
             TR, TT, UA, UG, UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
             CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
    US 2004097431
                                20040520
                          Al
                                            US 2003-695275
                                                                    20031028
PRIORITY APPLN. INFO.:
                                            US 1998-101542P
                                                                 P 19980923
                                            US 1999-404001
                                                                 A2 19990923
                                                                 A2 20000211
                                            US 2000-502592
                                            US 1998-101543P
                                                                 P 19980923
                                             CN 1999-812829
                                                                 A3 19990923
                                            US 2001-8066
                                                                 A 20011105
```

OTHER SOURCE(S):

MARPAT 137:140656

Derivs. of tocopherol, tocotrienol and other chromans of formula I (X and Y independently are oxygen, nitrogen or sulfur; when Y is nitrogen, nitrogen is substituted with R6 and R6 = H or Me; R1 = alkyl, alkenyl, alkynyl, aryl, heteroaryl, carboxylic acid, carboxylate, carboxamide, ester, thioamide, thiolacid, thiol ester, saccharide, alkoxy-linked saccharide, amine, sulfonate, sulfate, phosphate, alc., ethers or nitrites; R2, R3 = hydrogen or R4; R4 = Me, benzyl carboxylic acid, benzyl carboxylate, benzyl carboxamide, benzyl ester, saccharide or amine; and R5 = alkenyl) were prepared as antiproliferative and proapoptotic agents for the potential treatment of cell proliferative diseases. Thus, α -tocopherol was treated with Me bromoacetate and NaOH in N, N-dimethylformamide to give II. II showed effective growth inhibitory properties (apoptotic inducing) in a wide variety of human cancer cell lines, including breast, prostate, cervical, and ovarian cancers with EC50 values ranging from 1-20 μ g/mL.

261929-61-7P 261929-62-8P 261929-77-5P 261929-78-6P 354526-66-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tocopherols, tocotrienols, other chromans and side chain derivs. as potential antiproliferative, proapoptotic agents for the treatment of cancer)

261929-61-7 CAPLUS RN

ÇN Acetic acid, [(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME) .

Absolute stereochemistry.

Me
$$O$$
 R $(CH_2)_3$ R $(CH_2)_3$

261929-62-8 CAPLUS RN

CN Glycine, N-(carboxymethyl)-N-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R, 8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 261929-77-5 CAPLUS

CN 2-Propenoic acid, 3-[(2S)-6-(carboxymethoxy)-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 261929-78-6 CAPLUS

CN 2H-1-Benzopyran-2-propanoic acid, 6-(carboxymethoxy)-3,4-dihydro-2,5,7,8-tetramethyl-, α -methyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{HO}_2\text{C} \\ \text{O} \\ \text{Me} \\ \end{array}$$

RN 354526-66-2 CAPLUS

CN Acetic acid, [[1,2,3,4-tetrahydro-1,2,5,7,8-pentamethyl-2-(4,8,12-trimethyltridecyl)-6-quinolinyl]oxy]- (9CI) (CA INDEX NAME)

IT 261929-79-7P 261929-84-4P 354526-64-0P 354526-65-1P 444609-57-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tocopherols, tocotrienols, other chromans and side chain derivs. as potential antiproliferative, proapoptotic agents for the treatment of **cancer**)

RN 261929-79-7 CAPLUS

CN Glycine, N-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]-N-(2-ethoxy-2-oxoethyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 261929-84-4 CAPLUS

CN Carbamic acid, [3-[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 354526-64-0 CAPLUS

CN Acetic acid, [[1,2,3,4-tetrahydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-6-quinolinyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

RN 354526-65-1 CAPLUS

Acetic acid, [[1,2,3,4-tetrahydro-1,2,5,7,8-pentamethyl-2-(4,8,12-CN trimethyltridecyl)-6-quinolinyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

Me Me Me Me Me Me Me
$$C-C-CH_2-O$$
 Me CH_2) 3-CH- CH_2) 3-CH- CH_2) 3-CHMe2 MeO- $C-CH_2$ -O

444609-57-8 CAPLUS RN

Acetic acid, [(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-tri3,7,11-tridecatrienyl)-2H-1-benzopyran-6-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

PAGE 1-B

CMe₂

L10 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:256251 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

136:279341

TITLE:

Preparation of benzopyrancarboxylic acid derivatives for the treatment of diabetes and lipid disorders

INVENTOR(S):

Sahoo, Soumya P.; Koyama, Hiroo; Miller, Daniel J.;

Boueres, Julia K.; Desai, Ranjit C.

PATENT ASSIGNEE(S):

Merck & Co., Inc., USA PCT Int. Appl., 87 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT NO.

PATENT INFORMATION:

KIND DATE APPLICATION NO.

DATE

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WO 2002026729
                          A2
                                 20020404
                                             WO 2001-US29456
                                                                     20010921
     WO 2002026729
                          A3
                                 20020815
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
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         RW: GH, GM, KE, LS, MW, MZ, SD, SL; SZ, TZ, UG, ZW, AT, BE, CH, CY,
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             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                             CA 2001-2423141
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                                 20020404
                                                                     20010921
     AU 2001092874
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                                                                     20010921
     EP 1324995
                          A2
                                 20030709
                                             EP 2001-973277
                                                                     20010921
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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     JP 2004513090
                          T2
                                 20040430
                                             JP 2002-531113
                                                                     20010921
     US 2002082292
                          A1
                                 20020627
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PRIORITY APPLN. INFO.:
                                             US 2000-235708P
                                                                     20000927
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                                                                    20010921
OTHER SOURCE(S):
                         MARPAT 136:279341
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Title compds. [I; R = H, CH3CH2, CH3(CH2)2; R1 = CH3(CH2)2, C1, F; R2 = H, F, (CH3)2CHCH2, C1, OCH3, CH3SO2; n = 2, 3, 4], pharmaceutically acceptable salts, and stereoisomers are prepared Title compds. I, with effective amount of one or more compds. selected from the group consisting of glitazones, tolbutamide, lovastatin, etc., are potent agonists of PPAR alpha and/or gamma, and are therefore useful in the treatment, control or prevention of non-insulin dependent diabetes mellitus (NIDDM), hyperglycemia, dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, obesity, vascular restenosis, inflammation, and other PPAR alpha and/or gamma mediated diseases, disorders and conditions.

IT 406488-53-7P 406488-55-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzopyrancarboxylic acid derivs. for treatment of diabetes and lipid disorders)

RN 406488-53-7 CAPLUS

CN 2H-1-Benzopyran-2-carboxylic acid, 3,4-dihydro-2-methyl-6-[3+(4-phenoxy-2-propylphenoxy)propoxy]- (9CI) (CA INDEX NAME)

$$n-Pr$$
 $O-(CH_2)_3-O$
 $O-(CH_2)_3-O$

RN 406488-55-9 CAPLUS

CN 2H-1-Benzopyran-2-carboxylic acid, 3,4-dihydro-2-methyl-6-[4-(4-phenoxy-2-propylphenoxy)butoxy] - (9CI) (CA INDEX NAME)

$$n-Pr$$
 $O-(CH_2)_4-O$
 $O-(CH_2)_4$

L10 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2001:597976 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

135:166941

TITLE:

Preparation of tocopherols, tocotrienols, other chroman and side chain derivatives that induce cell apoptosis for therapeutic use as antiproliferative

agents

INVENTOR(S):

Sanders, Robert G.; Kline, Kimberly; Hurley, Laurence; Gardner, Robb; Menchaca, Marla; Yu, Weiping; Ramanan,

Puthucode N.; Liu, Shenquan; Israel, Karen

Research Development Foundation, USA

PATENT ASSIGNEE(S):

PCT Int. Appl., 120 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

DEMINISTRATION NO.

PATENT INFORMATION:

PA	TENT	NO.			KIND DATE			APPLICATION NO.							DATE			
WC	2001	0588	89				2001	0816	•	 WO 2	001-	 US41	68		2	0010	 209	
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		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	
		HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO;	RU,	
		SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	UZ,	VN,	YU,	
		ZA,	ZW															
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
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		BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG			
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									US 1999-404001 .									
									WO 2001-US4168						W 20010209			

OTHER SOURCE(S): MARPAT 135:166941

Tocopherol analogs, such as $I \cdot [X = O, NH, \cdot S; Y = O, NH, S; R1 = alkyl,$ alkenyl, alkynyl, aryl, heteroaryl, carboxyl, carboxamide, thiocarboxyl, etc.; R2, R3, R4 = H, Me, benzyl, carboxyl, carboxamide, amine, saccharide; R5 = alkyl, alkenyl, alkynyl, aryl, heteroaryl, carboxyl, carboxamide], were prepared for pharmaceutical use as antiproliferative agents which induce cell apoptosis for treatment of cancers and diseases involving cell proliferation, such as autoimmune diseases, psoriasis, etc.. Thus, $(R,R,R)-\alpha$ -tocopherol derivative II was prepared in 88% yield by condensation of $(R,R,R)-\alpha$ -tocopherol and BrCH2CO2Me in DMF using NaOH followed by hydrolysis with 5 N HCl. The prepared tocopherol analogs were tested for their ability to induce apoptosis in a number of cancer cell lines, such as breast, cervical, colon, prostate, etc.

354526-64-0P 354526-65-1P IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of tocopherols, tocotrienols, other chromans that induce cell apoptosis for therapeutic use as antiproliferative agents)

354526-64-0 CAPLUS RN

Acetic acid, [[1,2,3,4-tetrahydro-2,5,7,8-tetramethyl-2-(4,8,12-CN trimethyltridecyl)-6-quinolinyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

Me Me Me Me Me Me Me
$$(CH_2)_3-CH-(CH_2)_3-CH-(CH_2)_3-CHMe_2$$
MeO-C-CH₂-O Me

RN 354526-65-1 CAPLUS

Acetic acid, [[1,2,3,4-tetrahydro-1,2,5,7,8-pentamethyl-2-(4,8,12-CN trimethyltridecyl)-6-quinolinyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

Me Me Me Me Me Me Me
$$(CH_2)_3-CH-(CH_2)_3-CH-(CH_2)_3-CHMe_2$$
 MeO-C-CH₂-O Me

261929-61-7P 261929-62-8P 261929-77-5P ${\tt TT}$

261929-78-6P 354526-66-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tocopherols, tocotrienols, other chromans that induce cell apoptosis for therapeutic use as antiproliferative agents)

RN 261929-61-7 CAPLUS

Acetic acid, [[(2R)+3,4-dihydro+2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-CN trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_3$$
 $(CH_2)_3$ (CH_2)

RN 261929-62-8 CAPLUS

Glycine, N-(carboxymethyl)-N-[[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

-(CH₂)₃

RN 261929-77-5 CAPLUS

CN 2-Propenoic acid, 3-[(2S)-6-(carboxymethoxy)-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 261929-78-6 CAPLUS

CN 2H-1-Benzopyran-2-propanoic acid, 6-(carboxymethoxy)-3,4-dihydro-2,5,7,8-tetramethyl-, α -methyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 354526-66-2 CAPLUS

CN Acetic acid, [[1,2,3,4-tetrahydro-1,2,5,7,8-pentamethyl-2-(4,8,12-trimethyltridecyl)-6-quinolinyl]oxy]- (9CI) (CA INDEX NAME)

IT 261929-79-7P 261929-84-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tocopherols, tocotrienols, other chromans that induce cell apoptosis for therapeutic use as antiproliferative agents)

RN 261929-79-7 CAPLUS

CN Glycine, N-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]-N-(2-ethoxy-2-oxoethyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 261929-84-4 CAPLUS

Carbamic acid, [3-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-CN trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2000:742095 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

133:296438

TITLE:

Preparation of substituted fused imidazole derivatives

as hypoglycemics

INVENTOR(S):

Fujita, Takashi; Wada, Kunio; Oguchi, Minoru; Honma,

Hidehito; Fujiwara, Toshihiko Sankyo Company, Ltd., Japan

PATENT ASSIGNEE(S):

PCT Int. Appl., 274 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent Japanese

LANGUAGE: FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO.

DATE

WO 2000061582 Al 20001019 WO 2000-JP2217 20000406 W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, TR, US, ZA

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

JP 2000351777 A2 20001219 JP 2000-105985 20000407 PRIORITY APPLN. INFO.: JP 1999-101369 A 19990408 OTHER SOURCE(S): MARPAT 133:296438

Compds. represented by general formula (I) and salts and esters thereof (wherein R1 is hydrogen, C1-6 alkyl, (un) substituted C6-10 arvl or C7-16 aralkyl, HO, (un)substituted acyloxy, C1-6 alkoxy, (un)substituted NH2, etc.; R2 is hydrogen, C1-6 alkyl, or (un)substituted C7-16 aralkyl; R4, R4, or R5 is each hydrogen, C1-6 alkyl, or C1-6 alkoxy; R6 is hydrogen, C1-6 alkyl, (un)substituted C6-10 aryl or C7-16 aralkyl; Q and Y are each oxygen or sulfur; X is CH2, CO, CH(OR9), or C(:NOR10); wherein R9 or R10 is hydrogen, (un) substituted C1-6 alkyl, C7-16 aralkyl, or acyl; Z is CH or nitrogen; n and q are each 1 to 5; and A is a group represented by general formula Q1, Q2, Q3, or (CH2)m CH(CO2H)-BR7; wherein m is 0 to 8; X1 is oxygen or sulfur; B is oxygen, sulfur, or (un)substituted NH; and R7 is hydrogen, C1-6 alkyl, (un) substituted .C6-10 aryl or C7-16 aralkyl, or haloalkyl] are prepared These compds. are useful as insulin resistance improvers, hypoglycemics, antiinflammatory agents, immunomodulators, aldose reductase inhibitors, 5-lipoxygenase inhibitors, lipid peroxide-formation inhibitors, peroxisome proliferator-activated receptor (PPAR) activators, anti-osteoporosis agents, leukotriene antagonists, promoters of fat cell formation, cancer cell-proliferation inhibitors, or calcium antagonists. They are useful for the prevention or treatment of diabetes, hyperlipidemia, obesity, glucose tolerance insufficiency, hypertension, fatty liver, diabetes complication, arteriosclerosis, gestational diabetes, polycystic ovarian syndrome, cardiovascular diseases, cell damages caused by atherosclerosis or ischemic heart diseases, gout, osteoarthritis, rheumatic arthritis, allergic diseases, asthma, gastrointestinal ulcer, cachexia, autoimmune diseases, cancer, osteoporosis, or cataract. Thus, N-[2-amino-5-(6-methoxymethoxy-2,5,7,8-tetramethylchroman-2ylmethoxy)phenyl]-N-methylcarbamic acid tert-Bu ester was condensed with 4-(2,4-dioxothiazolin-5-ylmethyl)phenoxyacetic acid using di-Et cyanophosphate and Et3N in THF at room temperature for 30 min, followed by treatment of the product with 4 N HCl/dioxane at room temperature for 5 h gave 5-[4-[6-(6-hydroxy-2,5,7,8-tetramethylchroman-2-ylmethoxy)-1-methyl-1Hbenzimidazol-2-ylmethoxy]benzyl]thiazolidine-2,4-dione hydrochloride (II.HCl). When a feed containing 0.01% II.HCl was fed to mice for 3 days, the blood sugar level was lowered by 66.7% compared to control animal.

 300666-00-6P
 300666-01-7P
 300666-02-8P

 300666-05-1P
 300666-10-8P
 300666-13-1P

 300666-14-2P
 300666-15-3P
 300666-16-4P

 300666-17-5P
 300666-18-6P
 300666-19-7P

 300666-20-0P
 300666-21-1P
 300666-22-2P

 300666-27-7P
 300666-28-8P
 300666-31-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted fused imidazole derivs. as therapeutics) 300666-00-6 CAPLUS

Carbamic acid, [5-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-nitrophenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

IT

RN

CN

CN Carbamic acid, [2-amino-5-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{O} \\ & \text{N-C-OBu-t} \\ & \text{Me} \\ & \text{Me} \\ & \text{CH}_2 - \text{O} \\ & \text{Me} \\ & \text{Me} \\ \end{array}$$

RN 300666-02-8 CAPLUS

CN Carbamic acid, [5-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]acetyl]amino]phenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

$$-CH_2$$
 N
 H

RN 300666-05-1 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -(2,2,2-trifluoroethoxy)-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 300666-10-8 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -[[(4-fluorophenyl)methyl]thio]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \text{NH-C-CH}_2 \\ \text{O} \\ \text{Me} \\ \text{NH-C-CH}_2 \\ \text{O} \\ \text{Me} \\ \text{Me} \\ \text{NH-C-CH}_2 \\ \text{O} \\ \text{Me} \\ \text{Me} \\ \text{NH-C-CH}_2 \\ \text{O} \\ \text{Me} \\ \text{O} \\ \text{$$

PAGE 1-B

RN 300666-13-1 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -hydroxy-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 300666-14-2 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -(phenylthio)-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 300666-15-3 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -[(4-nitrophenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me}$$

PAGE 1-B

RN 300666-16-4 CAPLUS

CN Benzenepropanoic acid, α -(4-cyanophenoxy)-4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 300666-17-5 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -[(4-methylphenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 300666-18-6 CAPLUS

CN Benzenepropanoic acid, $\alpha-[(4-\text{chlorophenyl})\text{thio}]-4-[2-[[4-[[3,4-\text{dihydro-6-(methoxymethoxy})-2,5,7,8-\text{tetramethyl-2H-1-benzopyran-2-yl]methoxy}]-2-[[(1,1-\text{dimethylethoxy})\text{carbonyl}\text{methylamino}]\text{phenyl}\text{amino}]-2-\text{oxoethoxy}]-, ethyl ester (9CI) (CA INDEX NAME)$

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \text{NH-C-CH}_2 \\ \text{O} \\ \text{Me} \\ \text{NH-C-CH}_2 \\ \text{O} \\ \text{Me} \\ \text{NH-C-CH}_2 \\ \text{O} \\ \text{Me} \\ \text{Me}$$

PAGE 1-B

RN 300666-19-7 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethyl)methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -[[4-(1,1-dimethylethyl)phenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{O} \quad \text{Me} \\ \text{t-BuO-C-N} \\ \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \text{NH-C-CH}_2 \\ \text{O} \\ \text{Me} \\ \text{Me} \\ \text{NH-C-CH}_2 \\ \text{O} \\ \text{Me} \\ \text{Me}$$

PAGE 1-B

RN 300666-20-0 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -[(4-methoxyphenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 300666-21-1 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -ethoxy-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{O} \\ \text{O} \\ \text{NH} - \text{C} - \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{O} \\ \text{$$

PAGE 1-B

RN 300666-22-2 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -[(4-fluorophenyl)methoxy]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & O & Me \\ & & \\ & & \\ & & \\ Me & \\ & & \\ Me & \\ & & \\ Me & \\ & & \\ \\ Me & \\ & & \\ \\$$

PAGE 1-B

RN 300666-27-7 CAPLUS

CN Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -phenoxy-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 300666-28-8 CAPLUS

Benzenepropanoic acid, $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -(4-nitrophenoxy)-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 300666-31-3 CAPLUS

CN Benzenepropanoic acid, α-[bis(4-fluorophenyl)methoxy]-4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

REFERENCE COUNT:

THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2000:725453 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

133:291091

TITLE:

Antitumor activity of vitamin E, cholesterol, taxol

and betulinic acid derivatives

INVENTOR(S):

Fariss, Marc; Smith, J. Doyle

PATENT ASSIGNEE(S):

Washington State University Research Foundation, USA;

WO 2000-US9141

W 20000407

Virginia Commonwealth University

SOURCE:

PCT Int. Appl., 67 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P)	PATENT NO.						KIND DATE				APPL:	ICAT		DATE				
W	0	2000	0594	92		A2 20001012				WO 2	000-	US91		2	0000	407		
Mo	0	2000	05949	92		А3		2002	0124									
		W:	AE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,
			CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,
			ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,
			LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,
			SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,
			ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM		•				
		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,
			DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,
			CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD;	TG				
C	A	2366	807			AA		2000.	1012		CA 20	-000	2366	807		2	0000	407
Ε	EP 1189607					A2		2002	0327		EP 2	-000	9231	41		2	0000	407
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,	SI,	LT,	LV,	FI,	RO							•			
PRIORI'	ΤY	APP	LN.	INFO	.:			•			US 1	999-	1280	47P	•	P 1:	9990	407

The present invention provides methods for the use of derivs. of Vitamin E AΒ (tocopherol and tocotrienol), cholesterol, taxol and betulinic acid as antitumor agents for the treatment of and prevention of cancers of the liver, lung, colon, prostate and breast as well as melanomas and leukemias.

IT300655-66-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antitumor activity of vitamin E, cholesterol, taxol and betulinic acid derivs.)

300655-66-7 CAPLUS RN

Ethanamine, 2-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-CN trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-N, N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me Me
$$(CH_2)_3$$
 $(CH_2)_3$ $(CH$

300655-69-0 300655-70-3 300655-94-1 IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antitumor activity of vitamin E, cholesterol, taxol and betulinic acid derivs.)

300655-69-0 CAPLUS RN

Ethanamine, 2-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-CN trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-N,N-dimethyl-, ethanedioate (2:1) (9CI) (CA INDEX NAME)

CM 3

CRN 300655-66-7 CMF C33 H59 N O2

Absolute stereochemistry.

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 300655-70-3 CAPLUS

CN Ethanaminium, 2-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-N,N,N-trimethyl-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me Me
$$(CH_2)_3$$
 R $(CH_2)_3$ R $(CH_2)_3$ Me Me Me

• T -

PAGE 1-B

-CHMe2

RN 300655-94-1 CAPLUS

CN Poly(oxy-1,2-ethanediyl), α -[4-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-1-oxobutyl]- ω -hydroxy- (9CI) (CA INDEX NAME)

PAGE 1-B

L10 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

DOCUMENT NUMBER: 132:237223

TITLE:

Preparation of tocopherols, tocotrienols, other chroman and side chain derivatives for use as antitumor agents and for inducing cell apoptosis

Kline, Kimberly; Sanders, Bob G.; Hurley, Laurence; INVENTOR(S): Gardner, Robb; Menchaca, Marla; Yu, Weiping; Ramanan,

Puthucode N.; Liu, Shenquan; Israel, Karen

PATENT ASSIGNEE(S): Research Development Foundation, USA

SOURCE: PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

											APPLICATION NO.							DATE		
		2000																19990)923	
																		, CU		
																	-	, IN		
•																		, MG	-	
							-		-	-		-	-	•	•	•		, SL	•	
				-	•	•	-	UZ,	•	•		-	•	·	·	•			·	
		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	ΤZ	,	UG,	ZW,	AT,	BE,	СН	, CY,	DE.	
																		BJ	-	
			CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE		SN,	TD,	TG	,			·	
	CA	2345	079		·	AA		2000	0330	·	CA	19	99-	23450	079			19990	0923	
	AU	9961	553			A 1		2000	0410		AU	19	99-	6155	3			19990	0923	
	AU	7570	13																	
	ĘΡ	1115		A1		2001	0718		ΕP	19	99-	9483	52			19990	923			
																		, MC		
						LV,			-				·	·	•	·			·	
	CN	1325	303			Α		2001	1205		CN	19	99-8	31282	29			19990	923	
	JP	2002	5264	46		T2									33			19990	923	
	NZ	5107	32			Α		2004	0130		NZ	19	99-	51073	32		•	19990	923	
		2232						2004			RU	20	01-	1110	19			19990	923	
	CN	1706				А		2005	1214						3855			19990	923	
	IL	1420	82			Al		2005	1218		ΙL	19	99-	14208	82			19990	923	
	TW	5926	95			В		2004	0621		TW	19	99-8	38120	0073			19991	117	
	ZA	2001	0020	57		Α		2002	0319		ZA	20	01-2	2057				20010	313	
PRIC	RITY	APP	LN.	INFO	.:						US	19	98-	1015	42P		Р	19980	923	
					•													19990		
											WO	19	99-1	JS21	778	1	W	19990	923	
ОТНЕ	R SO	DURCE	181 -			MAR	РДТ	132.	23723											

OTHER SOURCE(S): MARPAT 132:237223

Chromans I [R1 = alkyl, alkenyl, alkynyl, aryl, herteroaryl, carboxyl, carboxamide, thioamide, saccharide, amine, sulfate, phosphate, etc.; R2, R3, R4 = H, Me, benzylcarboxylate, saccharide, amino, etc.; R5 = alkyl, alkenyl, alkynyl, aryl, herteroaryl, carboxyl, carboxamide; X = 0, NH, S) were prepared for pharmaceutical use as antitumor agents and cell apoptosis inducing agents. Thus, tocopherol derivative II (R1 = CH2CO2H, X = 0) was prepared in 88% yield via O-alkylation of (+)- α -tocopherol with Me bromoacetate. The prepared chromans were tested for cell apoptosis activity against a variety of **cancer** cell lines.

IT 261929-61-7P 261929-62-8P 261929-77-5P 261929-78-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tocopherols, tocotrienols, other chroman and side chain derivs. for use as antitumor agents and for inducing cell apoptosis)

RN 261929-61-7 CAPLUS

CN Acetic acid, [[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-l-benzopyran-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 261929-62-8 CAPLUS

CN Glycine, N-(carboxymethyl)-N-[[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 261929-77-5 CAPLUS

CN 2-Propenoic acid, 3-[(2S)-6-(carboxymethoxy)-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 261929-78-6 CAPLUS

CN 2H-1-Benzopyran-2-propanoic acid, 6-(carboxymethoxy)-3,4-dihydro-2,5,7,8-tetramethyl-, α -methyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 261929-79-7P 261929-84-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of tocopherols, tocotrienols, other chroman and side chain derivs. for use as antitumor agents and for inducing cell apoptosis)

RN 261929-79-7 CAPLUS

CN Glycine, N-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]-N-(2-ethoxy-2-oxoethyl)-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 261929-84-4 CAPLUS

CN Carbamic acid, [3-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

- (CH₂)₃ CHMe₂

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1996:462523 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

125:114896

TITLE:

3,4-dihydro-2,5,7,8-tetramethyl-benzopyran-6-ol

derivatives for use as drugs

INVENTOR(S):

Gotteland, Jean-Pierre; Gotteland, Jean-pierre; Delhon, Andre; Junquero, Didier; Oms, Philippe

PATENT ASSIGNEE(S): Pierre Fabre Medicament, Fr.

SOURCE:

PCT Int. Appl., 47 pp.

CODEN: PIXXD2
Patent

DOCUMENT TYPE: LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAS	PATENT NO.						DATE		AP:	PLICAT	ION N	10.		DATE					
WO	9616	957			A1		1996	0606	WO	1995-	FR154	17		19951123					
	W:	AU,	CA,	JP,	NZ,	US													
•	RW:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, GI	R, IE,	IT,	LU,	MC,	NI	PT,	SE			
FR	2727	414			A1		1996	0531	FR	1994-	14142	2			19941	125			
FR	2727	414			B1		1997	0214											
AU	9642	640			A1		1996	0619	AU	1996-	42640)			19951	123			
PRIORITY	APP	LN.	INFO	.:					FR	1994-	14142	2		A	19941	125			
									. WO	1995-	FR154	17	•	W	19951	123			

OTHER SOURCE(S): MARPAT 125:114896

Compds. of general formula I, wherein n = 1-10, R = CH2OR1, CONR1R2, CH2NR1R2 or Ar1, in which R1, R2 are identical or different each representing H, linear or branched alkyl of 1-20 carbons, saturated or containing double or triple bonds and possibly substituted with Ph, pyridine, or benzopyran derivative, halogen, alkoxy, alkylamine, ether, thioether, or silane groups, salts, hydrates, solvates and therapeutically acceptable prodrugs thereof, as well as racemic forms and enantiomers thereof, are disclosed. A method for preparing the compds., and pharmaceutical compns. containing said compds. as the active principle for treating and/or preventing acute or chronic inflammatory diseases, are also disclosed. I (R = Ph, n = 1) was prepared via O-benzylation of II with PhCH2Br in DMF containing NaH. The antioxidant behavior of several I in human LDL endothelial cells were obtained with an IC50 (µM) range of 0.03 - 0.3 compared to 15 for vitamin E.

IT <u>179188-24-0P</u> <u>179188-36-4P</u>

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dihydrotetramethylbenzopyranol derivs. for use as drugs)

RN 179188-24-0 CAPLUS

CN 1-Oxa-3,8-diazaspiro[4.5]decan-2-one, 8-[[3-[[[3,4-dihydro-2,5,7,8-

tetramethyl-6-[[2-(trimethylsilyl)ethoxy]methoxy]-2H-1-benzopyran-2-yl]methoxy]methyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{CH}_2-\text{O-CH}_2-\text{O-CH}_2 \\ \text{Me} \end{array}$$

PAGE 1-B

$$-N$$
 NH

RN 179188-36-4 CAPLUS

CN 1-Oxa-3,8-diazaspiro[4.5]decan-2-one, 8-[2-[[3,4-dihydro-2,5,7,8-tetramethyl-6-[[2-(trimethylsilyl)ethoxy]methoxy]-2H-1-benzopyran-2-yl]methoxy]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2-\text{O}-\text{CH}_2-\text{C$$

PAGE 1-B

$$-N$$
 NH

 17
 179188-43-3P
 179188-44-4P
 179188-45-5P

 179188-46-6P
 179188-47-7P
 179188-48-8P

 179188-49-9P
 179188-51-3P
 179188-52-4P

 179188-53-5P
 179188-54-6P
 179188-55-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of dihydrotetramethylbenzopyranol derivs. for use as drugs)

RN 179188-43-3 CAPLUS

CN Silane, [2-[[[3,4-dihydro-2,5,7,8-tetramethyl-2-[[[3-[[(4-methyl-3-pentenyl)oxy]methyl]phenyl]methoxy]methyl]-2H-1-benzopyran-6-yl]oxy]methoxy]ethyl]trimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{CH}_2-\text{O-CH}_2 \\ \text{CH}_2-\text{O-CH}_2 \\ \text{Me} \\ \end{array}$$

PAGE 1-B

 $- \circ - \circ H_2 - \circ H_2 - \circ H_2 - \circ H_2 = \circ H_2 - \circ H_2$

RN 179188-44-4 CAPLUS

CN Acetic acid, [[3,4-dihydro-2,5,7,8-tetramethyl-6-[[2-(trimethylsilyl)ethoxy]methoxy]-2H-1-benzopyran-2-yl]methoxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{CH}_2-\text{O-CH}_2-\text{C-OBu-t} \\ \text{Me} \\ \text{Me} \end{array}$$

RN 179188-45-5 CAPLUS

CN Ethanol, 2-[[3,4-dihydro-2,5,7,8-tetramethyl-6-[[2-(trimethylsilyl)ethoxy]methoxy]-2H-1-benzopyran-2-yl]methoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{CH}_2-\text{O-CH}_2-\text{CH}_2-\text{OH} \\ \\ \text{Me} \\ \end{array}$$

RN 179188-46-6 CAPLUS

CN Acetamide, N-[2-[[3,4-dihydro-2,5,7,8-tetramethyl-6-[[2-(trimethylsilyl)ethoxy]methoxy]-2H-1-benzopyran-2-yl]methoxy]ethyl]-N-(3,7-dimethyl-2,6-octadienyl)-2,2,2-trifluoro-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

RN 179188-47-7 CAPLUS

CN 2,6-Octadien-1-amine, N-[2-[[3,4-dihydro-2,5,7,8-tetramethyl-6-[[2-(trimethylsilyl)ethoxy]methoxy]-2H-1-benzopyran-2-yl]methoxy]ethyl]-3,7-dimethyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

RN 179188-48-8 CAPLUS

CN Acetamide, N-[2-[[3,4-dihydro-2,5,7,8-tetramethyl-6-[[2-(trimethylsilyl)ethoxy]methoxy]-2H-1-benzopyran-2-yl]methoxy]ethyl]-N-(3,7-dimethyl-2,6-octadienyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

RN 179188-49-9 CAPLUS

CN 2,6-Octadien-1-amine, N-[2-[[3,4-dihydro-2,5,7,8-tetramethyl-6-[[2-(trimethylsilyl)ethoxy]methoxy]-2H-1-benzopyran-2-yl]methoxy]ethyl]-N-ethyl-3,7-dimethyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

RN 179188-51-3 CAPLUS

CN 2H-1-Benzopyran-2-carboxylic acid, 3,4-dihydro-2,5,7,8-tetramethyl-6-[[2-(trimethylsilyl)ethoxy]methoxy]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{O} \\ \text{C-OEt} \\ \text{Me} \\ \text{Si-CH}_2\text{-CH}_2\text{-O-CH}_2\text{-O} \\ \text{Me} \\ \end{array}$$

RN 179188-52-4 CAPLUS

CN 2H-1-Benzopyran-2-methanol, 3,4-dihydro-2,5,7,8-tetramethyl-6-[[2-(trimethylsilyl)ethoxy]-(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{CH}_2-\text{CH}_2-\text{O-CH}_2-\text{O} \\ \text{Me} \\ \end{array}$$

RN 179188-53-5 CAPLUS

CN Silane, [2-[[[3,4-dihydro-2,5,7,8-tetramethyl-2-[(phenylmethoxy)methyl]-2H-1-benzopyran-6-yl]oxy]methoxy]ethyl]trimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2-\text{O}-\text{CH}_2-\text{Ph} \\ \text{Me} \\ \text{Me} \end{array}$$

RN 179188-54-6 CAPLUS

CN Silane, [2-[[[2-[[[3-(bromomethyl)phenyl]methoxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]methoxy]ethyl]trimethyl-

(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{CH}_2-\text{O-CH}_2 \\ \text{CH}_2\text{Br} \\ \text{Me} \\ \text{Me} \end{array}$$

RN 179188-55-7 CAPLUS

CN Silane, [1,3-phenylenebis[methyleneoxymethylene(3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-2,6-diyl)oxymethyleneoxy-2,1-ethanediyl]]bis[trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \end{array} \\ \begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \end{array} \\ \begin{array}{c} \text{CH}_2 - \text{O} - \text{CH}_2 \\ \text{O} \\ \text{Me} \end{array} \\ \end{array} \\ \begin{array}{c} \text{CH}_2 - \text{O} - \text{CH}_2 \\ \text{O} \\ \text{Me} \end{array}$$

PAGE 1-B

$$-O-CH_{2}-O-CH_{2}-O-CH_{2}-CH_{2}-SiMe_{3}$$

$$-O-CH_{2}$$

RN 179188-56-8 CAPLUS

CN Benzenemethanamine, 3-[[[3,4-dihydro-2,5,7,8-tetramethyl-6-[[2-(trimethylsilyl)ethoxy]methoxy]-2H-1-benzopyran-2-yl]methoxy]methyl]-N,N-diethyl-(9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2-\text{O}-\text{CH}_2 \\ \text{CH}_2-\text{O}-\text{CH}_2 \\ \text{Me} \end{array}$$

PAGE 1-B

L11 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:376667 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 145:83067

TITLE: NO-Donor Phenols: A New Class of Products Endowed with

Antioxidant and Vasodilator Properties

AUTHOR(S): Boschi, Donatella; Tron, Gian Cesare; Lazzarato, Loretta; Chegaev, Konstantin; Cena, Clara; Di Stilo,

Antonella; Giorgis, Marta; Bertinaria, Massimo;

Fruttero, Roberta; Gasco, Alberto

CORPORATE SOURCE: Dipartimento di Scienza e Tecnologia del Farmaco,

Universita degli Studi di Torino, Turin, 10125, Italy

SOURCE: Journal of Medicinal Chemistry (2006), 49(10),

2886-2897

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

AB The synthesis and study of the antioxidant and vasodilator properties of a new class of phenols able to release nitric oxide are described. The products were designed through a symbiotic approach using selected phenols and selected nitrooxy and furoxan NO-donors as reference models. The antioxidant activities of the hybrid products were assessed by detecting the 2-thiobarbituric acid reactive substances (TBARS) produced in the ferrous salt/ascorbate-induced autoxidn. of lipids present in microsomal membranes of rat hepatocytes. The vasodilator activity was assessed on rat aortic strips pre-treated with phenylephrine. Some of the products behave principally as vasodilators and others as antioxidants and the two properties are relatively balanced in several compds. Further in vivo studies should clarify whether some of these products may become preclin. candidates for the treatment of cardiovascular disease under-pinned by atheroma.

 1T
 820976-57-6P
 820976-58-7P
 820976-63-4P

 820976-64-5P
 820976-65-6P
 893403-72-0P

 893403-91-3P
 893403-96-8P
 893403-97-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of nitric oxide-donor phenol derivs. and study of their activity as antioxidants and vasodilators)

RN 820976-57-6 CAPLUS

CN 2H-1-Benzopyran-2-carboxylic acid, 3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8-tetramethyl-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2\text{-CH}_2\text{-O-CH}_2\text{-O} \\ \text{Me} \\ \end{array}$$

RN 820976-58-7 CAPLUS

CN 2H-1-Benzopyran-2-methanol, 3,4-dihýdro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8-tetramethyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2\text{-CH}_2\text{-O-CH}_2\text{-O} \\ \text{Me} \\ \end{array}$$

RN 820976-63-4 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8-

tetramethyl-2-[(2-propenyloxy)methyl]- (9CI) (CA INDEX NAME)

RN 820976-64-5 CAPLUS

CN 1-Propanol, 3-[[3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{CH}_2\text{--}\text{O}\text{--}\text{(CH}_2\text{)}_3\text{--}\text{O}\text{--}\\ \text{Me} \\ \text{Me} \\ \end{array}$$

RN 820976-65-6 CAPLUS

CN 1-Propanol, 3-[[3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-, 4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

PAGE 1-B

___ Me

RN 893403-72-0: CAPLUS

CN 1-Propanol, 3-[[3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-, nitrate (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{CH}_2\text{--}\text{O} - \text{(CH}_2\text{)}_3\text{--}\text{O} - \text{NO}_2 \\ \\ \text{Me} \\ \text{Me} \end{array}$$

RN 893403-91-3 CAPLUS

CN 1,2,5-Oxadiazole, 3-[[3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-4-(phenylsulfonyl)-, 5-oxide (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 - \text{CH}_2 - \text{O} \\ \text{CH}_2 - \text{O} \\ \text{CH}_2 - \text{O} \\ \text{$$

RN 893403-96-8 CAPLUS

CN 2H-1-Benzopyran-2-carboxamide, 3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-N,2,5,7,8-pentamethyl-(9CI) (CA INDEX NAME)

RN 893403-97-9 CAPLUS

CN 2H-1-Benzopyran-2-methanamine, 3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-N,2,5,7,8-pentamethyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2\text{-CH}_2\text{-O-CH}_2\text{-O} \\ \text{Me} \\ \end{array}$$

RN 893403-98-0 CAPLUS

CN 1,2,5-Oxadiazole-3-carboxamide, 4-[[[[3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methyl]methylamino]methyl]-, 2-oxide (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{CH}_2 - \text{CH}_2 - \text{O} \\ \text{CH}_2 - \text{O} \\ \text{CH}_2 - \text{O} \\ \text{Me} \\ \end{array}$$

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:76829 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 144:156918

TITLE: Preparation of vitamin E derivatives for inhibiting

reactive oxygen and carbonyl species

INVENTOR(S): Hai, Ton That; Nordhaus, Mark; Sanders, Paul; Jiang,

Cong; Karoor, Sujatha; Melnick, Ben; Martis, Leo

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 35 pp.

CODEN: USXXCO

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT	PATENT NO.				D	DATE			APPL	ION		DATE					
US 2006 WO 2006				A1 A1		2006 2006			US 2 WO 2			- -		20040726 20050622			
W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KP,	KR,	KZ,	
	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	
	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	
	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	
	ZA,	ZM,	ZW														
RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	
	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	

CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM,

KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 2004-899194 A 20040726

PRIORITY APPLN. INFO.: Vitamin E derivs. are prepared such that they can display both antioxidant and carbonyl trapping properties. This can effectively reduce inflammation, oxidative stress and carbonyl stress, such as to prevent and/or treat cardiovascular disease and inflammatory diseases in kidney disease patients. E.g., I and three other vitamin E derivs. were prepared and tested for antioxidant and carbonyl trapping properties.

874114-81-5P 874114-82-6P 874114-83-7P

874114-84-8P 874114-88-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of vitamin E derivs. for inhibiting reactive oxygen and. carbonyl species)

874114-81-5 CAPLUS RN

Piperazine, 1-[2-[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-CN benzopyran-2-yl]ethyl]- (9CI) (CA INDEX NAME)

874114-82-6 CAPLUS RN

Piperazine, 1-[[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)oxy]acetyl]-4-[2-CN [3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2yl]ethyl]- (9CI) (CA INDEX NAME)

RN 874114-83-7 CAPLUS

Piperazine, 1-[(aminooxy)acetyl]-4-[2-[3,4-dihydro-6-(methoxymethoxy)-CN 2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{C-CH}_2\text{-O-NH}_2 \\ \text{MeO-CH}_2\text{-O-NH}_2 \\ \text{Me} \end{array}$$

HC1

RN 874114-84-8 CAPLUS

CN Carbamic acid, [(1R)-2-[4-[2-[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]ethyl]-1-piperazinyl]-2-oxo-1[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 874114-88-2 CAPLUS

CN Carbamic acid, [(1S)-1-[[4-[2-[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]ethyl]-1-piperazinyl]carbonyl]-2-methyl-2-[(triphenylmethyl)thio]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:158624 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

142:261303

TITLE:

Preparation of anthranilic acid derivatives as selective agonists of the nicotinic acid receptor

HM74A

INVENTOR(S):

Campbell, Mathew; Hatley, Richard Jonathan; Heer, Jag Paul; Mason, Andrew McMurtrie; Pinto, Ivan Leo;

Rahman, Shahzad Sharooq; Smith, Ian Edward David

PATENT ASSIGNEE(S):

Smithkline Beecham Corporation, USA

SOURCE:

PCT Int. Appl., 41 pp.

DOCUMENT TYPE:

Patent

CODEN: PIXXD2

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.					KIND I			DATE			APPLICATION NO.						DATE		
		2005 2005								1	WO 2	004-	GB35	16		2	200408			
		W:	AE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,		
									MA,											
									PT,					,		•	•	•		
									UA,						-	-	-	-		
		RW:							MZ,							-				
									TJ,						-	-	-			
									HU,											
		•							CG,											
				TD,		·	•	·	•	·	,	·	•	~.	•	•		•		
	ΕP	1689	699			A2		2006	0816	EP 2004-768077					20040813					
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
									CY,						-	-	-	•		
PRIO	RITY	APP							·		GB 20				-			314		
											WO 20						00408			
OTHE	OTHER SOURCE(S):					CASREACT 142:261					61303; MARPAT 142:261303									
AB										acid derivs. I $[R1 = H]$						halo, alkvl:				
									lic ring system optional							-				

R2 cluding from 1 to 3 heteroatoms selected from S, O and N; Z = (CH2)n, CH:CH(CH2)m, O, etc.; n = 2-4; m = 0-2], processes for the preparation of said compds. I, pharmaceutical formulations containing the active compds. and the use of the compds. in therapy, particularly in the treatment of diseases in which under-activation of the HM74A receptor contributes to the disease or in which activation of the receptor will be beneficial, are disclosed. Thus, reacting 3-(naphthalen-1-yl)propionic acid with 2-aminobenzoic acid in the presence of HBTU and Et3N in MeCN afforded I [R1 = H; R2 = 1-naphthyl; Z =(CH2)2]. The compds. I showed EC50 of 5.0 or greater and efficacy of 30% or greater in HM74A in-vitro assays.

845829-85-8P IT

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of anthranilic acid derivs. as selective agonists of the nicotinic acid receptor HM74A for treating lipid metabolic diseases)

845829-85-8 CAPLUS RN CN

Benzoic acid, 2-[[[(3,4-dihydro-2,2-dimethyl-2H-1-benzopyran-6yl)oxy]acetyl]amino]- (9CI) (CA INDEX NAME)

$$CO_2H$$
 $NH-C-CH_2-O$
 Me
 Me
 Me

L11 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:34585 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

142:120546

TITLE:

Propofol formulations with non-reactive container

closures

INVENTOR(S):

Desai, Neil P.; Yang, Andrew; Ci, Sherry Xiaopei

PATENT ASSIGNEE(S):

American Bioscience, Inc., USA U.S. Pat. Appl. Publ., 18 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
US 2005009731 WO 2005007131 WO 2005007131	A2	20050113 20050127 20050922	US 2003-616709 WO 2004-US20923	20030710 20040629			
W: AE, AG, CN, CO, GE, GH, LK, LR, NO, NZ, TJ, TM, RW: BW, GH, AZ, BY, EE, ES,	AL, AM, AT, CR, CU, CZ, GM, HR, HU, LS, LT, LU, OM, PG, PH, TN, TR, TT, GM, KE, LS, KG, KZ, MD, FI, FR, GB, TR, BF, BJ,	AU, AZ, B DE, DK, D ID, IL, I LV, MA, M PL, PT, R TZ, UA, U MW, MZ, N RU, TJ, T GR, HU, I	BA, BB, BG, BR, BW, BY, DM, DZ, EC, EE, EG, ES, EN, IS, JP, KE, KG, KP, MD, MG, MK, MN, MW, MX, RO, RU, SC, SD, SE, SG, JG, US, UZ, VC, VN, YU, NA, SD, SL, SZ, TZ, UG, EM, AT, BE, BG, CH, CY, EE, IT, LU, MC, NL, PL, CI, CM, GA, GN, GQ, GW,	FI, GB, GD, KR, KZ, LC, MZ, NA, NI, SK, SL, SY, ZA, ZM, ZW ZM, ZW, AM, CZ, DE, DK, PT, RO, SE,			

PRIORITY APPLN. INFO.:

US 2003-616709 A 20030710

AB A sterile pharmaceutical composition for parenteral administration of propofol, said composition comprising propofol, optionally albumin, and less than about 10% by weight solvent for propofol, wherein said composition is stored in a container having a closure wherein said closure is inert to propofol. Formulations comprise propofol, soybean oil, egg lecithins, glycerin, NaOH, and water.

IT **823782-77-0**

RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(propofol formulations with non-reactive container closures)

RN 823782-77-0 CAPLUS

CN Poly(oxy-1,2-ethanediyl), α -(1-oxooctadecyl)- ω -[(3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl)oxy]-(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

L11 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:967761 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

142:113978

TITLE:

AUTHOR(S):

Development of a new class of potential

antiatherosclerosis agents: NO-donor antioxidants Cena, Clara; Boschi, Donatella; Tron, Gian Cesare; Chegaev, Kostantin; Lazzarato, Loretta; Di Stilo,

Antonella; Aragno, Manuela; Fruttero, Roberta; Gasco, Alberto

CORPORATE SOURCE:

Dipartimento di Scienza e Tecnologia del Farmaco,

Turin, I-10125, Italy

SOURCE:

Bioorganic & Medicinal Chemistry Letters (2004),

14(24), 5971-5974

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER:

Elsevier B.V.

DOCUMENT TYPE:

Journal English

LANGUAGE:
OTHER SOURCE(S):

CASREACT 142:113978

AB A new class of NO-donor phenol derivs., e.g. I, is described. The products were obtained by joining appropriate phenols with either nitrooxy or 3-phenylsulfonylfuroxan-4-yloxy moieties. All the compds. proved to inhibit the ferrous salt/ascorbate induced lipidic peroxidn. of membrane lipids of rat hepatocytes. They were also capable of dilating rat aorta strips precontracted with phenylephrine.

IT 820976-57-6P 820976-58-7P 820976-63-4P

820976-64-5P 820976-65-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(development of a new class of potential NO-donor antioxidants as antiatherosclerosis agents)

RN 820976-57-6 CAPLUS

N 2H-1-Benzopyran-2-carboxylic acid, 3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8-tetramethyl-, ethyl ester (9CI) (CA INDEX NAME)

Me Me
$$C-OEt$$
MeO- $CH_2-CH_2-O-CH_2-O$
Me
Me
Me
Me
Me
Me
Me

RN 820976-58-7 CAPLUS

CN 2H-1-Benzopyran-2-methanol, 3,4-dihydro-6-[(2-methoxyethoxy)methoxy]- . 2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2-\text{OH} \\ \text{O} \\ \text{CH}_2-\text{OH} \\ \text{Me} \\ \end{array}$$

RN 820976-63-4 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8-tetramethyl-2-[(2-propenyloxy)methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{CH}_2-\text{O-CH}_2-\text{CH}$$

RN 820976-64-5 CAPLUS

CN 1-Propanol, 3-[[3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]- (9CI) (CA INDEX NAME)

Me Me
$$CH_2-CH_2-O-CH_2-O$$
 CH_2-O-C

RN 820976-65-6 CAPLUS

CN 1-Propanol, 3-[[3,4-dihydro-6-[(2-methoxyethoxy)methoxy]-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-, 4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

PAGE 1-A

Me

Me $CH_2-CH_2-O-CH_2-$

PAGE 1-B

__ Me

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:873825 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

141:350039

TITLE:

Preparation of chromans and their use as drugs Fujita, Takeshi; Oguchi, Minoru; Wada, Kunio;

INVENTOR(S):

Fujiwara, Toshihiko; Ogawa, Junko; Kurakata, Shinichi;

Inaoka, Yoshinori; Aratsu, Yoichi; Onosawa, Yoshiko

PATENT ASSIGNEE(S): S.

SOURCE:

Sankyo Co., Ltd., Japan

Jpn. Kokai Tokkyo Koho, 34 pp. CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
			~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~~	
JP 2004292331	A2	20041021	JP 2003-84624	20030326
PRIORITY APPLN. INFO.:			JP 2003-84624	20030326
	===			

OTHER SOURCE(S):

MARPAT 141:350039

AB Chromans I [R1 = OH, C1-6 aliphatic acyloxy, nicotinoyloxy; A = CO, CH2, CHOH, etc.; B = CH2, CHOH; AB may be CH:CH; X = O, SOn; n = 0-2; Ar = benzene, pyridin, biphenylene ring; Q = H, halo, C1-6 (halo)alkyl, C1-6 alkoxy; R2 = H, C1-6 alkyl; Y = CO, SO2; R3 = C1-6 (halo)alkyl], their pharmacol. acceptable salts, or esters are prepared. The chromans inhibit peroxylipid formation, 5-lipoxygenase, leucotrienes, and cytokines, and show antidiabetic, Ca-blocking, and nerve cell-protecting activities. Thus, amidation of 4-(6-acetoxy-2,5,7,8-tetramethylchroman-2-ylmethoxy)aniline with MeSO2Cl in pyridine gave I (R1 = AcO, R2, Q = H, R3 = Me, AB = CH2CH2, X = O, Ar = 1,4-C6H4, Y = SO2), which lowered blood sugar level by 20.1% in diabetic mice.

IT <u>776334-21-5</u>

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of chromans as antidiabetic agents and nerve cell-protecting agents)

RN 776334-21-5 CAPLUS

CN Methanesulfonamide, N-[2-(cyclopentyloxy)-6-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-3-pyridinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{O} \\ \text{O} \\ \text{NH-S-Me} \\ \text{O} \\$$

L11 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:369126 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 141:106341

TITLE: (2R)-2-Ethylchromane-2-carboxylic Acids: Discovery of

Novel PPAR $lpha/\gamma$  Dual Agonists as

Antihyperglycemic and Hypolipidemic Agents

AUTHOR(S): Koyama, Hiroo; Miller, Daniel J.; Boueres, Julia K.;

Desai, Ranjit C.; Jones, A. Brian; Berger, Joel P.; MacNaul, Karen L.; Kelly, Linda J.; Doebber, Thomas W.; Wu, Margaret S.; Zhou, Gaochao; Wang, Pei-Ran; Ippolito, Marc C.; Chao, Yu-Sheng; Agrawal, Arun K.; Franklin, Ronald; Heck, James V.; Wright, Samuel D.;

Moller, David E.; Sahoo, Soumya P.

CORPORATE SOURCE: Departments of Medicinal Chemistry, Metabolic

Disorders Atherosclerosis and Endocrinology and Drug Metabolism, Merck Research Laboratories, Rahway, NJ,

07065-0900, USA

SOURCE: Journal of Medicinal Chemistry (2004), 47(12),

3255-3263

CODEN: JMCMAR; ISSN: 0022-2623
American Chemical Society

PUBLISHER: America
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:106341

AB A series of chromane-2-carboxylic acid derivs. was synthesized and evaluated for PPAR agonist activities. A structure-activity relationship was developed toward PPAR $\alpha/\gamma$  dual agonism. As a result, (2R)-7-{3-[2-chloro-4-(4-fluorophenoxy)phenoxy]propoxy}-2-ethylchromane-2-carboxylic acid (I) was identified as a potent, structurally novel, selective PPAR $\alpha/\gamma$  dual agonist. I exhibited substantial antihyperglycemic and hypolipidemic activities when orally administered in three different animal models: the db/db mouse type 2 diabetes model, a Syrian hamster lipid model, and a dog lipid model.

IT 406488-53-7P 406488-55-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of chromane-2-carboxylic acid derivs. as PPAR $\alpha/\gamma$  dual agonists)

RN 406488-53-7 CAPLUS

CN 2H-1-Benzopyran-2-carboxylic acid, 3,4-dihydro-2-methyl-6-{3-(4-phenoxy-2-propylphenoxy)propoxy}- (9CI) (CA INDEX NAME)

RN 406488-55-9 CAPLUS

CN 2H-1-Benzopyran-2-carboxylic acid, 3,4-dihydro-2-methyl-6-[4-(4-phenoxy-2-propylphenoxy)butoxy]- (9CI) (CA INDEX NAME)

$$n-Pr$$
 $O-(CH_2)_4-O$ 
 $CO_2H$ 

REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:94564 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 141:923

TITLE: Studies on some glitazones having pyridine as the

linker unit

AUTHOR(S): Ramachandran, Uma; Mital, Alka; Bharatam, Prasad V.;

Khanna, Smriti; Rao, Poduri Rama; Srinivasan,

Krishnamoorthy; Kumar, Rakesh; Chawla, Harmander Pal Singh; Lal Kaul, Chaman; Raichur, Suryaprakash;

Chakrabarti, Ranjan

CORPORATE SOURCE: Department of Pharmaceutical Technology, National

Institute of Pharmaceutical Education and Research

(NIPER), S.A.S. Nagar, 160 062, India

SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(4),

655-662

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:923

AB Mol. modeling on various well-known glitazones carrying a pyridine ring instead of benzene ring as the middle linker unit showed conformational rigidity as compared to their parent mols. Blocking the lone pair of electrons on the pyridine N, made them flexible once again. A few representatives of these analogs were synthesized and their efficacy as PPARγ agonists evaluated.

# IT 695171-56-3P 695171-57-4P 695171-58-5P 695171-59-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(glitazones having pyridine as the linker unit, their preparation and  $PPAR\gamma$  agonist activity)

RN 695171-56-3 CAPLUS

CN 3-Pyridinecarbonitrile, 6-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{Me} \\ \end{array}$$

RN 695171-57-4 CAPLUS

CN 3-Pyridinecarboxaldehyde, 6-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]- (9CI) (CA INDEX NAME)

MeO-
$$CH_2$$
- $O$ 
Me
 $CH_2$ - $O$ 
 $CH_2$ 
 $CH_2$ 

RN 695171-58-5 CAPLUS

CN 2,4-Thiazolidinedione, 5-[[6-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-3-pyridinyl]methylene]- (9CI) (CA INDEX NAME)

RN 695171-59-6 CAPLUS

CN 2,4-Thiazolidinedione, 5-[[6-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-3-pyridinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 \\ \text{O} \\ \text{N} \end{array}$$

REFERENCE COUNT:

THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:565648 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

139:122856

TITLE:

Antioxidants for pharmaceutical and cosmetic use based

APPLICATION NO.

DATE

on vitamin-(poly)phenol esters and method for

preparation

INVENTOR(S):

Oppenlaender, Knut

PATENT ASSIGNEE(S):

Germany

SOURCE:

Ger. Offen., 4 pp.

DATE

CODEN: GWXXBX

DOCUMENT TYPE: LANGUAGE:

Patent

FAMILY ACC. NUM. COUNT:

German

KIND

PATENT INFORMATION:

PATENT NO.

		DE 10201223	A1	20030724	DE 2002	2-10201223	20020115	
E	PRIO	RITY APPLN. INFO.:			DE 2002	2-10201223	20020115	
I	₹B	The invention conce	rns the	e esterifica	ition of v	itamins A, E,	or C with	
		(poly)phenols to ob	tain ar	ntioxidants	that can	be used in co	osmetic and	
		pharmàceutical prod	ucts.	Phenols wit	h more th	an two OH gro	oups, o- and	
		p-quinones, flavone	s, flav	onoids, cat	echins, q	uercetin, ant	thocyane,	
		anthocyanidine and	natura]	. tannins ar	e used as	polyphenols.	. As	
		monophenols α-tocop	herol a	and $2,6-di-t$	ert.butyl	-p-cresole and	ce	
		preferred. A typic	al'synt	hesis inclu	ides the f	ormation of		
		mono-Na-phenolate f	rom the	polyphenol	, followe	d by reaction	n with	
		chloroacetic acid;	the pro	duced monop	henoxy-ac	etic acid is	esterified wit	:h
		the OH-group of the			<del>-</del>			
]	ΤŢ	564483-91-6P		-		-		
		DT 000 10						

RL: COS (Cosmetic use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (antioxidants for pharmaceutical and cosmetic use based on vitamin-(poly)phenol esters and method for preparation)

RN 564483-91-6 CAPLUS

CN L-Ascorbic acid, 6-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

L11 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:144942 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 139:341528

TITLE: Structural studies on the impurities of troglitazone AUTHOR(S): Moses Babu, J.; Nageshwar, D.; Ravindra Kumar, Y.;

Prabhakar, C.; Sarma, M. R.; Om Reddy, G.; Vyas, K.

CORPORATE SOURCE: Department of Analytical Research, Discovery Research

Division, Dr. Reddy's Laboratories Ltd., Hyderabad,

500050, India

SOURCE: Journal of Pharmaceutical and Biomedical Analysis

(2003), 31(2), 271-281

CODEN: JPBADA; ISSN: 0731-7085
PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The impurity profile study of troglitazone has been carried out primarily by (liquid chromatog.-mass spectrometry) LC-MS. Four process-related impurities have been detected by LC-MS and were confirmed by co-injection with authentic samples. Apart from the process-related impurities, two polar byproducts were characterized by mass spectral data and comparison with reference samples, while one non-polar byproduct and one degradation product have been isolated by means of preparative HPLC and characterized by 2D NMR and mass spectral study. Single-crystal X-ray diffraction studies have been carried out on the degradation product. The formation and characterization of these byproducts and degradation product are discussed.

IT 218768-48-0P 616883-65-9P 616883-66-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(structural studies on the impurities of troglitazone)

RN 218768-48-0 CAPLUS

CN 2H-1-Benzopyran-2-methanol, 3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-, methanesulfonate (9CI) (CA INDEX NAME)

Me Me 
$$CH_2-O-S-Me$$
Me  $CH_2-O-S-Me$ 
Me  $CH_2-O-S-Me$ 

RN 616883-65-9 CAPLUS

CN Benzaldehyde, 4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{Me} \end{array}$$

RN 616883-66-0 CAPLUS

CN 2,4-Thiazolidinedione, 5-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]methylene]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \end{array}$$

REFERENCE COUNT:

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:754350 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

137:263203

TITLE:

Preparation of carboxybenzopyran derivatives as

surfactants

INVENTOR(S):

Lambert, Karel J.; Lal, Manjari; Kaufman, Robert J. Sonus Pharmaceuticals, Inc., USA

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 57 pp.

DOCUMENT TYPE:

CODEN: PIXXD2
Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT	NO.	!	KIND DATE			APPLICATION NO.						DATE		
	2076938 2076938		A2 A3	2002			WO 2	002-l	JS11:	266		20020321		
W:	AE, AG,			AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
•	CO, CR,													
	GM, HR,	HU,	ID, IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
	LU,	LV, MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
	PL, PT,	RO,	RU, SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
	UA, UG,	US, I	UZ, VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,
	TJ, TM													
RW:	GH, GM,	KE,	LS, MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
	CY, DE,	DK,	ES, FI	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
•	BF, BJ,		CG, CI,	CM,	GA,									
PRIORITY APE							US 20	001-2	2784	60P	1	P 20	0010	323
OTHER SOURCE														
	ran deri		<del>-</del>								_			_
	= hydrop								-	•		-	_	
	trienyl						_							•
<del>-</del>	ceutical										•	•		or
micella	r solns.	Thu	s, II v	vas p	repai	red	from	dibe	enzy.	1 L-	gluta	amat	е	
_		-O-D- $\delta$ -tocopheryl acetic acid ether. The												
surface	surface tension of II was													
IT 463331-	IT 463331-13-7P 463331-15-9P 463331-17													

PAGE 1-A

PAGE 1-B

RN 463331-15-9 CAPLUS

CN L-Glutamic acid, N-[[[(2R)-3,4-dihydro-2,8-dimethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]-L- $\alpha$ -glutamyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 463331-17-1 CAPLUS

CN L-Glutamic acid, N-[[[(2R)-3,4-dihydro-2,8-dimethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

IT 463331-20-6P 463331-28-4P 463331-31-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of carboxybenzopyran derivs. as surfactants)

RN 463331-20-6 CAPLUS

CN Acetic acid, [[(2R)-3,4-dihydro-2,8-dimethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463331-28-4 CAPLUS

Poly[imino[(2S)-1-oxo-2-[3-oxo-3-(phenylmethoxy)propyl]-1,2-ethanediyl]],  $\alpha$ -[(1S)-1-carboxy-4-oxo-4-(phenylmethoxy)butyl]- $\omega$ -[[[(2R)-3,4-dihydro-2,8-dimethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 463331-31-9 CAPLUS

CN L-Glutamic acid, N-[[[(2R)-3,4-dihydro-2,8-dimethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]acetyl]-, 5-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

L11 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:728847 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

137:257628

TITLE:

Antitumor agents containing novel chroman derivatives

INVENTOR(S):

Fujita, Takashi; Wada, Kunio; Oguchi, Minoru;

Kurakata, Shinichi

PATENT ASSIGNEE(S):

Sankyo Co., Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 101 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 2002275064	A2	20020925	JP 2002-5560	20020115
PRIO	RITY APPLN. INFO.:			JP 2001-6574 A	20010115
OTHE	R SOURCE(S):	MARPA	137:257628		
AB	The invention provi	ides chi	coman derivs	. I (R1 = H, C1-6 alkyl	, etc.; R2 =
	H, C1-6 alkyl, etc.	.; R3, F	R4, R5, R6 =	H, C1-6 alkyl, etc.; X	= single
	bond, CO, C:NOR7, e	etc.; Ri	7, R8 = H, C	1-6 alkyl, C2-6 alkenyl	, etc.; A =
	CO, SO2; $U = CH2$ , $CH2$	etc.; Y	= O, S; Q $=$	H, nitro, OH, etc.; k	= 1-6; m, n =
	0-8; Ar1 = benzene	ring, e	etc.; $Ar2 = 1$	benzene ring, etc.) as	antitumor
	agents. The antitu	umor efi	fect of $N-[2]$	-[4-(6-acetoxy-4-oxo-2,	5,7,8-
	tetramethylchroman-	-2-ylmet	choxy)phenyl	]ethyl]-nicotinamide in	SK-N-MC and
	D283-Med cells was	examine	ed Also, a	capsule containing N-[4	-(6-acetoxy-2,5,7,8-
•	tetramethylchroman-	-2-ylmet	hoxy)phenyl	]-nicotinamide 100 mg w	as prepared
IT	321920-41-6P 321920	0-58-5P	321920-65-4	P	
	321920-95-0P 321923	L-17-9P	461659-02-9	<u> </u>	
	461659-11-0P 461659	9-14-3P		_	

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of chroman derivs. as antitumor agents)

RN 321920-41-6 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2-[[4-nitro-2-(trifluoromethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)

Me Me 
$$CH_2-O$$
  $CF_3$   $Me$ 

RN 321920-58-5 CAPLUS

CN 2H-1-Benzopyran, 2-[(2-chloro-4-nitrophenoxy)methyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

Me 
$$Me$$
  $CH_2-O$   $Cl$   $Me$   $CH_2-O$   $Cl$   $Me$ 

RN 321920-65-4 CAPLUS

CN Benzenamine, 4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{Me} \end{array}$$

RN 321920-95-0 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2-[[4-nitro-3-(trifluoromethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{Me} \end{array}$$

RN 321921-17-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \text{N-C} \\ \text{N$$

RN 461659-02-9 CAPLUS

RN 461659-11-0 CAPLUS

CN Carbamic acid, [4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{Me} \end{array}$$

RN 461659-14-3 CAPLUS

CN Carbamic acid, [4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

L11 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:286703 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

136:309930

TITLE:

Preparation of benzimidazole derivatives for treatment

and prevention of diabetes

INVENTOR(S):

Fujita, Takashi; Wada, Kunio; Koguchi, Minoru; Honma,

Eiji; Fujiwara, Toshihiko

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 135 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002114781	A2	20020416	JP 2000-307157	20001006
PRIORITY APPLN. INFO.:			JP 2000-307157	20001006
OTHER SOURCE(S):	MARPAT	136:309930		

The title compds. I [R1 - R6 = H, alkyl, etc.; n, q = 1 - 5; Q, Y = 0, S; X = CH2, etc.; Z = CH, N; A = (CH2)mCH(CO2H)BR7, etc.; B = 0, etc.; R7 = H, alkyl, etc.; M = 0 - 8 are prepared Compds. of this invention at 0.01% in feed (given for 3 days) gave 34.9% to 66.7% decrease of blood sugar in diabetic KK mice.

 300666-00-6P
 300666-01-7P
 300666-02-8P

 300666-05-1P
 300666-10-8P
 300666-13-1P

 300666-14-2P
 300666-15-3P
 300666-16-4P

 300666-17-5P
 300666-18-6P
 300666-19-7P

 300666-20-0P
 300666-21-1P
 300666-22-2P

 300666-27-7P
 300666-28-8P
 300666-31-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazole derivs. for treatment and prevention of diabetes)

RN 300666-00-6 CAPLUS

CN Carbamic acid, [5-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-nitrophenyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} & \text{O} \\ \text{N-C-OBu-t} \\ \text{Me} & \text{NO2} \\ \\ \text{MeO-CH}_2\text{-O} & \text{Me} \\ \\ \text{Me} & \text{Me} & \text{NO2} \\ \end{array}$$

RN 300666-01-7 CAPLUS

CN Carbamic acid, [2-amino-5-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]methyl-, 1,1-dimethylethylester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me O} \\ \text{N-C-OBu-t} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{Me} \end{array}$$

RN 300666-02-8 CAPLUS

CN Carbamic acid, [5-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]acetyl]amino]phenyl]methyl-,

### 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

$$-CH_2$$
 $N$ 
 $H$ 

RN 300666-05-1 CAPLUS

CN Benzenepropanoic acid,  $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -(2,2,2-trifluoroethoxy)-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 300666-10-8 CAPLUS

CN Benzenepropanoic acid, 4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-α-[[(4-fluorophenyl)methyl]thio]-, methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} O & Me \\ \parallel & \parallel \\ \text{t-BuO-C-N} \\ Me \\ Me \\ O \\ CH_2 - O \\ Me \\ \end{array}$$

PAGE 1-B

RN 300666-13-1 CAPLUS

CN Benzenepropanoic acid,  $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -hydroxy-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B.

RN 300666-14-2 CAPLUS

CN Benzenepropanoic acid,  $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -(phenylthio)-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

· RN 300666-15-3 CAPLUS

CN Benzenepropanoic acid,  $4-[2-[\{4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -[(4-nitrophenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 300666-16-4 CAPLUS

CN Benzenepropanoic acid,  $\alpha$ -(4-cyanophenoxy)-4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me}$$

PAGE 1-B

RN 300666-17-5 CAPLUS

CN Benzenepropanoic acid,  $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -[(4-methylphenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \text{NH-} \\ \text{C} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \text{NH-} \\ \text{C} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \text{NH-} \\ \text{C} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \text{NH-} \\ \text{C} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \text{NH-} \\ \text{C} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \text{NH-} \\ \text{C} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \text{NH-} \\ \text{C} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \text{NH-} \\ \text{C} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \text{NH-} \\ \text{C} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \text{NH-} \\ \text{C} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 \\ \text{O} \\ \text{O}$$

PAGE 1-B

RN 300666-18-6 CAPLUS

Benzenepropanoic acid,  $\alpha-[(4-\text{chlorophenyl})\text{thio}]-4-[2-[[4-[[3,4-\text{dihydro-6-(methoxymethoxy})-2,5,7,8-\text{tetramethyl-2H-1-benzopyran-2-yl]methoxy}]-2-[[(1,1-\text{dimethylethoxy})\text{carbonyl}\]\text{methylamino}]\text{phenyl}\]\text{amino}]-2-\text{oxoethoxy}]-, ethyl ester (9CI) (CA INDEX NAME)$ 

PAGE 1-B

RN 300666-19-7 CAPLUS

CN Benzenepropanoic acid,  $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -[[4-(1,1-dimethylethyl)phenyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 300666-20-0 CAPLUS

CN Benzenepropanoic acid,  $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -((4-methoxyphenyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 300666-21-1 CAPLUS

CN Benzenepropanoic acid,  $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -ethoxy-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \text{NH-C-CH}_2 \\ \text{O} \\ \text{Me} \\ \text{NH-C-CH}_2 \\ \text{O} \\ \text{Me} \\ \text{NH-C-CH}_2 \\ \text{O} \\ \text{Me} \\ \text{Me$$

PAGE 1-B

RN 300666-22-2 CAPLUS

CN Benzenepropanoic acid,  $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -[(4-fluorophenyl)methoxy]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 300666-27-7 CAPLUS

CN Benzenepropanoic acid,  $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -phenoxy-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{NH-C-CH}_2 - \text{O} \\ \text{Me} \\$$

PAGE 1-B

RN 300666-28-8 CAPLUS

CN Benzenepropanoic acid,  $4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-<math>\alpha$ -(4-nitrophenoxy)-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} O & Me \\ \parallel & \parallel \\ \text{t-BuO-C-N} \\ Me \\ Me \\ O \\ CH_2 - O \\ Me \\ \end{array}$$

PAGE 1-B

RN 300666-31-3 CAPLUS

CN Benzenepropanoic acid, α-[bis(4-fluorophenyl)methoxy]-4-[2-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-2-[[(1,1-dimethylethoxy)carbonyl]methylamino]phenyl]amino]-2-oxoethoxy]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

L11 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

DOCUMENT NUMBER:

137:79092

TITLE:

A method for thermal generation of aryloxyl radicals at ambient temperatures: application to low-density

lipoprotein (LDL) oxidation

AUTHOR(S):

Paul, Thomas; Ingold, Keith U.

CORPORATE SOURCE:

National Research Council of Canada, Ottawa, ON, K1A

OR6, Can.

SOURCE:

Angewandte Chemie, International Edition (2002),

41(5), 804-806

CODEN: ACIEF5; ISSN: 1433-7851

PUBLISHER: DOCUMENT TYPE: Wiley-VCH Verlag GmbH

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 137:79092

The decomposition of aryloxyalkyl hyponitrites, ArOCH2ON: NOCH2OAr (I; Ar = Ph,  $\alpha$ -tocopheryl), were measured by 1H-NMR and were found to be almost identical. The Arrhenius parameters for decomposition of I (Ar = Ph) were EA = 106 kJ/mol and log(A/S-1) = 14.8. The expected decomposition pathways for I are outlined. The aryloxy radical-initiated peroxidn. of LDL was chosen to illustrate a biol. relevant in vitro application of I.

440361-13-7P IT

> RL: BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)

(thermal decomposition of; thermal generation of aryloxyl radicals at ambient temps. and its application to low-d. lipoprotein oxidation)

440361-13-7 CAPLUS RN

Hyponitrous acid, bis[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-1)]4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]methyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

Me 
$$(CH_2)_3$$
 R  $(CH_2)_3$  R  $(CH_2)_3$  R  $(CH_2)_3$  Me  $(CH_2)_3$  Me

PAGE 1-B

Me Me 
$$(CH_2)_3$$
 R  $(CH_2)_3$  CHMe  $(CH_2)_3$  CHMe  $(CH_2)_3$  R

REFERENCE COUNT:

23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:170741 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

137:179813

TITLE:

Powerful antioxidative agents based on garcinoic acid

from Garcinia kola

AUTHOR(S):

Terashima, Kenji; Takaya, Yoshiaki; Niwa, Masatake

CORPORATE SOURCE:

Faculty of Pharmacy, Meijo University, Tempaku,

Nagoya, 468-8503, Japan

SOURCE:

Bioorganic & Medicinal Chemistry (2002), 10(5),

1619-1625

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

Investigation on the structure-antioxidative activity relationships of derivs. based on garcinoic acid from Garcinia kola (Guttiferae) led to discovery of a powerful antioxidative agent. Various chroman compds. based on garcinoic acid were prepared and tested for antioxidative activity. Compound I was 18.7 times more powerful antioxidant than

 $dl-\alpha$ -tocopherol.

449775-65-9P 449775-66-0P IT

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and structure activity relations of antioxidant garcinoic acid derivs.)

RN 449775-65-9 CAPLUS

2,6,10-Tridecatrienoic acid, 13-[3,4-dihydro-6-(methoxymethoxy)-2,8dimethyl-2H-1-benzopyran-2-yl]-2,6,10-trimethyl-, methyl ester, (2E, 6E, 10E) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

PAGE 1-B

RN 449775-66-0 CAPLUS

2,6,10-Tridecatrien-1-ol, 13-[3,4-dihydro-6-(methoxymethoxy)-2,8-dimethyl-CN 2H-1-benzopyran-2-yl]-2,6,10-trimethyl-, (2E,6E,10E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

PAGE 1-B

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:63989 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 134:131426

TITLE: Preparation and effect of coumarone analogues as

antitumor agents

INVENTOR(S): Fujita, Takashi; Wada, Kunio; Oguchi, Minoru;

Kurakata, Shinichi

PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan

SOURCE: PCT Int. Appl., 238 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

{ • *

	PATENT NO.  WO 2001005780					KIND DATE				j	APPL	ICAT	ION	NO.		DATE				
						A1	_	2001	1	WO 2	20000714									
		W:	AU, US,		CA,	CN,	CZ,	HU,	ID,	IL,	IN,	KR,	MX,	NO,	NZ,	PL,	RU,	TR,		
		RW:	AT.	BE.	CH.	CY.	DE.	DK.	ES.	FT.	FR.	GB.	GR.	IE.	IT.	LUL	MC.	NTL		

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

JP 2001089468 A2 20010403 JP 2000-213985 20000714
PRIORITY APPLN. INFO.: JP 1999-203159 A 19990716
OTHER SOURCE(S): MARPAT 134:131426

AB Title coumarone analogs [I; wherein R1 is hydrogen, C1-C6 alkyl; R2 is hydrogen, C1-C6 alkyl; R3, R5 are each independently hydrogen, C1-C6 alkyl; R4, R6 are each independently hydroxy, C1-6 alkyl, NH2, acetoxy, methoxymethoxy; X is a single bond, C=O, C=NOR7; R7 and R8 are each independently hydrogen, C1-C6 alkyl, C2-C6 alkenyl; A is C=O, SO2; U is CH2, or the like; Y is O or S; Q is hydrogen, nitro, hydroxyl; p is an integer of 1 to 6; m and n are each independently an integer of 0 to 8; and Arl and Ar2 are each benzene ring or pyridine ring} exhibiting excellent antitumor activities are prepared and formulation are discussed. Thus, title compound II was prepared and tested.

 321920-41-6P
 321920-54-1P
 321920-58-5P

 321920-65-4P
 321920-95-0P
 321921-17-9P

 321921-21-5P
 321921-30-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and effect of coumarone analogs as antitumor agents)

RN 321920-41-6 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2-[[4-nitro-2-(trifluoromethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2\text{--}0 \\ \text{Me} \\ \end{array}$$

RN 321920-54-1 CAPLUS

CN Pyridine, 2-(cyclopentyloxy)-6-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-3-nitro-(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{CH}_2 \\ \text{O} \\ \text{N} \\ \text{NO}_2 \\ \text{Me} \\ \end{array}$$

RN 321920-58-5 CAPLUS

CN 2H-1-Benzopyran, 2-[(2-chloro-4-nitrophenoxy)methyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 \\ \text{O} \\ \text{C1} \end{array}$$

RN 321920-65-4 CAPLUS

CN Benzenamine, 4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 \\ \text{O} \\ \text{Me} \\ \end{array}$$

RN 321920-95-0 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2-[[4-nitro-3-(trifluoromethyl)phenoxy]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{Me} \end{array}$$

RN 321921-17-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{CH}_2 - \text{O} \\ \text{Me} \\ \end{array}$$

RN 321921-21-5 CAPLUS

CN Propanamide, N-[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{Me} \end{array}$$

RN 321921-30-6 CAPLUS

CN Carbamic acid, [[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]methyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{O} \\ & & \text{II} \\ \text{Me} \\ \text{Me} \\ \text{CH}_2 - \text{O} \\ \text{CH}_2 - \text{O} \\ \end{array}$$

REFERENCE COUNT:

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2000:117059 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

132:171119

TITLE:

Water-soluble prodrugs of hindered alcohols or phenols Stella, Valentino J.; Zygmunt, Jan J.; Georg, Ingrid

Gunda; Safadi, Muhammed S.

PATENT ASSIGNEE(S):

University of Kansas, USA PCT Int. Appl., 76 pp.

SOURCE:

INVENTOR(S):

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1.

PATENT INFORMATION:

PATENT NO.					KIND DATE			APPLICATION NO.							DATE			
WO	WO 2000008033				Al		2000	0217	Ţ	WO 1	999-1	JS17	779		1	99908	806	
	W:	AE,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ÇA,	ÇН,	CN,	CU,	CZ,	
		DE,	DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	
		JP,	KE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	
		MN,	MW,	MX,	NO,	NΖ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	
		TM,	TR,	TT,	ŲΑ,	UG,	UZ,	VN,	YU,	ZA,	ZW							
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                           AA
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                                 20000228
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     AU 769755
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                                              EP 2006-4146
                                                                      19990806
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                                              NO 2001-659
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                                 20020205
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                                                                      20041117
PRIORITY APPLN. INFO.:
                                              US 1998-131385
                                                                   A 19980807
                                              CN 1999-811440
                                                                   A3 19990806
                                              EP 1999-939030
                                                                   A3 19990806
                                              WO 1999-US17779
                                                                   W 19990806
                                              US 2000-733817
                                                                   A3 20001208
                                              US 2002-208647
                                                                   A3 20020729
```

OTHER SOURCE(S):

•)

MARPAT 132:171119 Water-soluble phosphonooxymethyl esters of drugs containing aliphatic or aromatic AΒ hindered OH groups are prepared as prodrugs to improve the bioavailability of the drugs without use of surfactants which lead to severe side effects. Among the drugs thus rendered water soluble are camptothecin, propofol, cyclosporin A, etoposide, and  $\alpha$ -tocopherol. Thus, proposol was converted via its O-(methylthio)methyl, O-chloromethyl, and O-phosphonooxymethyl dibenzyl ester derivs. to Ophosphonooxymethylpropofol. This compound had a water solubility of .apprx.500 mg/mL, was nontoxic in rats, was converted to propofol by alkaline phosphatase in vitro, and produced anesthesia in dogs in a similar manner to a com. propofol formulation (Diprivan).

## 258516-36-8P 258516-55-1P 258516-69-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(water-soluble prodrugs of hindered alcs. or phenols)

258516-36-8 CAPLUS RN

Phosphoric acid, [[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-CN trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]methyl bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A

$$Ph-CH_2-O-P-O-CH_2-O$$
 $Ph-CH_2-O$ 
 $Ph-CH_2-O$ 
 $Ph-CH_2-O$ 
 $Ph-CH_2-O$ 
 $Ph-CH_2-O$ 
 $Ph-CH_2-O$ 
 $Ph-CH_2-O$ 
 $Ph-CH_2-O$ 
 $Ph-CH_2-O$ 
 $Ph-CH_2-O$ 

PAGE 1-B

-(CH₂)₃-CHMe₂

RN 258516-55-1 CAPLUS

CN Phosphoric acid, [[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]methyl bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

- CHMe2

RN 258516-69-7 CAPLUS

CN Phosphoric acid, [[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]methyl di-2-propenyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

$$M_{2}C = CH - CH_{2} - O - P - O - CH_{2} - O$$
 $M_{2}C = CH - CH_{2} - O$ 
 $M_{2}C = CH - CH_{2} - O$ 

PAGE 1-B

-(CH₂)₃-CHMe₂

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:753662 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 132:64206

TITLE: Synthesis of a new antidiabetic medicine

5-[4-[(6-hydroxy-2,5,7,8-tetramethylchroman-2-

yl)methoxy]-benzyl]-2,4-thiazolidinedione

AUTHOR(S): Wang, Ensi; Duan, Haifeng; Jin, Lei

CORPORATE SOURCE: College of Life Science, Jilin University, Changchun,

130023, Peop. Rep. China

SOURCE: Jilin Daxue Ziran Kexue Xuebao (1999), (4), 85-90

CODEN: CLTTDI; ISSN: 0529-0279

PUBLISHER: Jilin Daxue Ziran Kexue Xuebao Bianjibu

DOCUMENT TYPE: Journal LANGUAGE: Chinese

AB Troglitazone, 5-[4[(6-hydroxy-2,5,7,8-tetramethylchroman-2-yl)methoxy]-benzyl]-2,4-thiazolidinedione was prepared with 3.3% yield via Meerwein arylation as a pivotal step. The route without high pressure and high temperature may be applied to industrial production

IT 253273-69-7P 253273-70-0P 253273-71-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of 5-[4-[(6-hydroxy-2,5,7,8-tetramethylchroman-2-yl)methoxy]-benzyl]-2,4-thiazolidinedione as antidiabetic medicine)

RN 253273-69-7 CAPLUS

CN Benzenamine, 4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2\text{-O} \\ \text{Me} \end{array}$$

RN 253273-70-0 CAPLUS

CN Benzenepropanoic acid, α-chloro-4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C1} & \text{O} \\ \text{Me} \\ \text{Me} \\ \text{CH}_2-\text{CH}-\text{C}-\text{OEt} \\ \text{Me} \\ \text{Me} \\ \text{Me} \end{array}$$

RN 253273-71-1 CAPLUS

CN 4(5H)-Thiazolone, 2-amino-5-[[4-[[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{MeO-CH}_2 - \text{O} \\ \text{Me} \end{array}$$

L11 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999

TITLE:

1999:56538 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 130:129977

Nonionic vitamin E derivatives, method for their preparation, and polymeric amphiphilic vesicles

prepared from them

INVENTOR(S): Kim, Young Dae; Lee, Jung No; Kim, Won Chae; Kim,

Young Hyun; Kim, Min Ki; Ku, Myoung Su; Cho, Iw Han

PATENT ASSIGNEE(S):

Pacific Corp., S. Korea

SOURCE:

Ger. Offen., 10 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
DE 19747600	`A1	19990114	DE 1997-19747600		19971028
DE 19747600	C2	20010726			
KR 195291	B1	19990615	KR 1997-32412		19970712
JP 11035577	A2	19990209	JP 1997-295644		19971028
JP 3061601	B2	20000710	·		
US 5869703	А	19990209	US 1997 <del>-</del> 959468		19971028
FR 2765873	A1	19990115	FR 1997-13564		19971029
FR 2765873	B1	20000114			•
CN 1205333	Α	19990120	CN 1997-119987		19971030
CN 1083449	В	20020424		:	
PRIORITY APPLN. INFO.:		•	KR 1997-32412	Α	19970712
OTHER SOURCE (S).	CACDET	CT 130 · 1200	77. MADDAT 130.12007	7	

OTHER SOURCE(S): CASREACT 130:129977; MARPAT 130:129977

Nonionic and polyethoxylated vitamin E derivs. (I; A = CH2CHMe, CH:CMe; B = Me in 5-, 7-, or 8-position; R = CH2:CR1CO2CH2CH2NMe; R1 = H, CH3; m = CH3; 1-3) are prepared which polymerize to form amphiphilic, liposome-like vesicles which show excellent thermodn. stability, biocompatibility, and antioxidant, antiinflammatory, and cytoprotectant activity and can be used as liposome substitutes in pharmaceutical and cosmetic prepns. Thus, vitamin E (DL- $\alpha$ -tocopherol) reacted with chloroacetic anhydride to form vitamin E chloroacetate, which was condensed with 2-(dimethylamino)ethyl methacrylate in anhydrous THF at 125° under reflux; the resulting monomer was polymerized under N2 at 65° in the presence of K2S2O8 to form ellipsoidal vesicles with major and minor diams. of 600-2300 and 300-1200 Å, resp. These vesicles were stable at room temperature for ≥8 mo and at 45° for >3 mo.

#### IT219855-66-0P

RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(nonionic vitamin E derivs., method for their preparation, and polymeric amphiphilic vesicles prepared from them)

219855-66-0 CAPLUS RN

Poly(oxy-1,2-ethanediyl),  $\alpha$ -[[methyl[2-[(2-methyl-1-oxo-2propenyl)oxy]ethyl]amino]acetyl]- $\omega$ -[[(2R)-3,4-dihydro-2,5,7,8tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-, rel-, homopolymer (9CI) (CA INDEX NAME)

CM 1

CN

CRN 219845-10-0

(C2 H4 O)n C38 H63 N O5 CMF

CCI PMS

$$H_{2}C$$
 O  $Me$  O  $H_{2}C$   $CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-CH_{2}-C$ 

# IT 219845-10-0P 219855-68-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(nonionic vitamin E derivs., method for their preparation, and polymeric amphiphilic vesicles prepared from them)

RN 219845-10-0 CAPLUS

CN Poly(oxy-1,2-ethanediyl),  $\alpha$ -[[methyl[2-[(2-methyl-1-oxo-2-propenyl)oxy]ethyl]amino]acetyl]- $\omega$ -[((2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-, rel- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 219855-68-2 CAPLUS

Poly(oxy-1,2-ethanediyl),  $\alpha$ -(chloroacetyl)- $\omega$ -[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

L11 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

1998:710007 CAPLUS <<LOGINID::20061025>> ACCESSION NUMBER:

DOCUMENT NUMBER:

130:75735

TITLE:

Synthesis and biological activity of novel

thiazolidinediones

AUTHOR(S):

Prabhakar, C.; Madhusudhan, G.; Sahadev, K.; Reddy,

Ch. Maheedhara; Sarma, M. R.; Reddy, G. Om;

Chakrabarti, R.; Rao, C. Seshagiri; Kumar, T. Dileep;

Rajagopalan, R.

CORPORATE SOURCE:

Department of Process Research and Development, Department of Pharmacology, Dr. Reddy's Research

Foundation, Hyderabad, 500 050, India

SOURCE:

Bioorganic & Medicinal Chemistry Letters (1998),

8(19), 2725-2730

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

Novel compds. having a dual pharmacophore were synthesized and evaluated for their insulin sensitizer and anti-inflammatory properties in different

IT 218768-48-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation and structure activity of thiazolidinediones as antidiabetic and anti-inflammatory agents)

RN 218768-48-0 CAPLUS

animal models.

CN 2H-1-Benzopyran-2-methanol, 3,4-dihydro-6-(methoxymethoxy)-2,5,7,8tetramethyl-, methanesulfonate (9CI) (CA INDEX NAME)

Me Me 
$$CH_2-O-S-Me$$
Me  $CH_2-O-S-Me$ 
Me  $CH_2-O-S-Me$ 

REFERENCE COUNT:

16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1998:150577 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

128:217521

TITLE:

Antioxidant effects and synthesis of

fluorine-containing vitamin E derivatives

AUTHOR(S):

Koyama, Mayumi; Takaya, Hiroaki; Takagi, Toshiyuki; Ando, Akira; Kumaka, Takamaru; Sano, Mitsuaki; Tomita,

Isao CORPORATE SOURCE:

Fac. Pharm., Setsunan Univ., Japan

SOURCE:

Bitamin E Kenkyu no Shinpo (1998), 8, 66-70 CODEN: BKSHFT

PUBLISHER: Kyoritsu Shuppan

DOCUMENT TYPE:

Journal

LANGUAGE:

Japanese

A total of 6 trifluoromethyl-substituted  $\alpha$ -tocopherol derivs. (I; (a) R = R4 = R5 = R6 = Me, R1 = CF3 and R2 = Me or R1 = Me and R2 = CF3, R3 = H; (b) R = CF3, R1 = R2 = R4 = R5 = R6 = Me, R3 = H; (c) R = .R1 = R2= R5 = Me, R3 = H, R4 = CF3 and R6 = Me, or R4 = Me and R6 = CF3; (d) R = R1 = R4 = R6 = Me, R2 = R5 = CF3, R3 = H) were prepared and effect of trifluoromethyl substitution on antioxidant activity was studied. Thus, 4-hydroxy-2,5-dimethylphenol was condensed with a terpene alc. HOCH2CH:CMe(CH2)3CHMe(CH2)3CHMe(CH2)3CHMe2 in formic acid to give I (R = R1 = R3 = H, R2 = R4 = R5 = R6 = Me) which was acetylated by Ac2O in pyridine and brominated by Br to give I (R = R2 = R4 = R5 = R6 = Me, R1 = R6Br, R3 = Ac). The latter compound was coupled with CF3I in the presence of Cu followed by treatment with HCl/MeOH to give I (R = R2 = R4 = R5 = R6 = Me, R1 = CF3, R3 = H) (7-CF3- $\alpha$ -tocopherol). Antioxidant activity of

these derivs. were assayed and, e.g.,  $7-CF3-\alpha$ -tocopherol showed IC50

of 2.11+10-1 and 1.91+10-3 M by MI-HPTLC ( $\alpha$ -methylindole-high-performance TLC) and brain-TBA (thiobarbituric acid) method, resp., compared to 7.82+10-5 and 5.88+10-5 M, resp., for dl- $\alpha$ -tocopherol. Introduction of a CF3 group to the chroman ring stabilizes  $\alpha$ -tocopherol against oxidation due to the electron withdrawing effect of CF3 group and lowers antioxidant activity but is expected to exhibit long lasting effect. Substitution of Me groups on the side chain with CF3 group showed slightly higher antioxidant activity than that of dl- $\alpha$ -tocopherol.

#### IT 171566-85-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(antioxidant effects and synthesis of trifluoromethyl-substituted vitamin E derivs.)

RN 171566-85-1 CAPLUS

CN 2H-1-Benzopyran, 2-[4,12-dimethyl-8-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7-trimethyl- (9CI) (CFINDEX NAME)

PAGE 1-B

 $-CH_2-CH=CMe_2$ 

L11 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:150496 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 128:217520

TITLE: Synthesis of  $\alpha$ -tocopherol derivatives with 2

trifluoromethyl radicals

AUTHOR(S): Koyama, Mayumi; Takagi, Toshiyuki; Ando, Akira;

Kumakai, Takamaru

CORPORATE SOURCE: Fac. Pharm., Setsunan Univ., Japan

SOURCE: Bitamin E Kenkyu no Shinpo (1996), 6, 1-5

CODEN: BKSHFT

PUBLISHER: Kyoritsu Shuppan

DOCUMENT TYPE: Journal LANGUAGE: Japanese

A total of 9 possible regioisomers of  $\alpha$ -tocopherol derivs. having AΒ two trifluoromethyl groups [I; e.g., R = H; (1) R1 = R2 = R5 = R6 = Me, R3= R4 = CF3; (2) R1 = R5 = CF3, R2 = R3 = R4 = R6 = Me; (3) R1 = R4 = R5 =Me, R2 = R6 = CF3, etc.] were prepared by Wittig reaction of [3-(2-chromanyl)propyl]triphenylphosphonium iodide [II; (a) R1 = R2 = Me,R3 = H; (b) R1 = H, R2 = R3 = Me; (c) R1 = R3 = Me, R2 = H, etc.] with terpene ketone R4COCH2CH2CH:CR5CH2CH2CH:CR6Me [(d) R4 = CF3, R5 = R6 = Me; (e) R4 = R6 = Me, R5 = CF3; and (f) R4 = R5 = Me, R6 = CF3] in the presence of a base, hydrogenation of the resulting (III; R1 - R6 = same as above) followed by acetylation to give I (e.g., R = Ac; (1) R1 = R2 = R5 =R6 = Me, R3 = H, R4 = CF3; (2) R1 = H, R5 = CF3, R2 = R3 = R4 = R6 = Me; (3) R1 = R4 = R5 = Me, R2 = H, R6 = CF3, etc.], halogenation of the latter compds. to give I [e.g., R = Ac; (1) R1 = R2 = R5 = R6 = Me, R3 = X, R4 =CF3; (2) R1 = X, R5 = CF3, R2 = R3 = R4 = R6 = Me; (3) R1 = R4 = R5 = Me, R2 = X, R6 = CF3, etc.; X = Br, iodo} followed by coupling with CF3I in the presence of Cu in HMPA to give I [e.g., R = Ac; (1) R1 = R2 = R5 = R6= Me, R3 = R4 = CF3; (2) R1 = R5 = CF3, R2 = R3 = R4 = R6 = Me; (3) R1 =R4 = R5 = Me, R2 = R6 = CF3, etc.], and finally acid hydrolysis of the latter acetate (no specific examples given). These derivs. are used to study orientation and mobility of vitamin E in biomembranes by measuring

relaxation time of 19F-NMR. Introduction of a CF3 group in both the chromanol ring and the side chain enables the measurement of relaxation time in both sites in one preparation of liposome and thus provides more accurate comparison on the behavior of the side chain and the chromanol ring in liposome.

IT 171566-84-0P 171566-85-1P 171566-86-2P 171566-87-3P 171566-88-4P 171566-89-5P 171566-90-8P 171566-91-9P 171566-92-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of  $\alpha$ -tocopherol derivs. with 2 trifluoromethyl radicals)

RN 171566-84-0 CAPLUS

2H-1-Benzopyran, 2-[8,12-dimethyl-4-(trifluoromethyl)-3,7,11-tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-B

 $-CH_2-CH=CMe_2$ 

RN 171566-85-1 CAPLUS

CN 2H-1-Benzopyran, 2-[4,12-dimethyl-8-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7-trimethyl- (9CI) (CNINDEX NAME)

PAGE 1-B

 $-CH_2-CH=-CMe_2$ 

RN 171566-86-2 CAPLUS

CN 2H-1-Benzopyran, 2-[4,8-dimethyl-12-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 171566-87-3 CAPLUS

CN 2H-1-Benzopyran, 2-[8,12-dimethyl-4-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,7,8-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

$$-CH_2-CH=CMe_2$$

RN 171566-88-4 CAPLUS

CN 2H-1-Benzopyran, 2-[4,12-dimethyl-8-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,7,8-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

$$-CH_2-CH=CMe_2$$

RN 171566-89-5 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,7,8-trimethyl-2-(13,13,13-trifluoro-4,8,12-trimethyl-3,7,11-tridecatrienyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 171566-90-8 CAPLUS

CN 2H-1-Benzopyran, 2-[8,12-dimethyl-4-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,8-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

$$-CH_2-CH=CMe_2$$

RN 171566-91-9 CAPLUS

CN 2H-1-Benzopyran, 2-[4,12-dimethyl-8-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,8-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-B

RN 171566-92-0 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,8-trimethyl-2-(13,13,13-trifluoro-4,8,12-trimethyl-3,7,11-tridecatrienyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

L11 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1998:103203 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

128:154498

TITLE:

Formation of stable polymeric vesicles by

tocopherol-containing amphiphiles

AUTHOR(S):

Cho, Iwhan; Kim, Young Dae

CORPORATE SOURCE:

Department Advanced Materials Engineering, Korea

Advanced Institute Science Technology, Seoul, 130, S.

Korea

SOURCE:

Macromolecular Rapid Communications (1998), 19(1),

27-30

CODEN: MRCOE3; ISSN: 1022-1336 Huethig & Wepf Verlag

PUBLISHER:
DOCUMENT TYPE:

ниеспід & wepi Journal

LANGUAGE:

English

AB Polymeric vesicles were obtained by a free radical polymerization of aqueous dispersions of a tocopherol-containing nonionic single-chain amphiphile synthesized by the reaction of O-tocopheryl-oligo(oxyethylene) chloroacetate and 2-(N,N-dimethylamino)ethyl methacrylate. Weight-average molar masses (.hivin.Mw) of the polymeric vesicles estimated by gel permeation chromatog. are in the range of 75,000-115,000. The phase transition temperature (Tc) of the polymeric vesicles is 77°, which is higher than that of the monomeric vesicles, 51°. Transmission electron microscopy photographs show that the polymerization of monomeric vesicles of the tocopherol-containing nonionic single-chain amphiphile leads to the formation of mostly polymeric, elliptic vesicles of distinct morphol. having short axes of ≈300-1200 Å and long axes of ≈600-2400 Å.

The polymeric vesicles exhibit an enhanced stability compared with their monomeric counterparts.

IT <u>202748-08-1DP</u>, reaction products with (dimethylamino)ethyl methacrylate 202748-08-1P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and vesicles formation of tocopherol-containing amphiphiles)

RN 202748-08-1 CAPLUS

CN Poly(oxy-1,2-ethanediyl),  $\alpha$ -(chloroacetyl)- $\omega$ -[(3,4-dihydro-

2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl)oxy](9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

RN 202748-08-1 CAPLUS CN Poly(oxy-1,2-ethanediyl),  $\alpha$ -(chloroacetyl)- $\omega$ -[(3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl)oxy]-(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

L11 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:7

1997:754352 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 128:82201

TITLE: Chroman compound and diazo thermal recording material with improved light resistance using it

INVENTOR(S): Yamada, Hisao; Matsushita, Tetsunori; Sano, Shojiro

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho; 29 pp.

CODEN: JKXXAF

CODEN: UKXXA.

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
***				
JP 09301969	A2	19971125	JP 1996-120528	19960515
PRIORITY APPLN. INFO.:			JP 1996-120528	19960515
OTHER SOURCE(S):	MARPAT	128:82201		

AB The chroman compound comprises I [R = aminocarbonyl, acylamino, aminocarboxy, aminocarbamoyl, sulfonamide, sulfonylaminocarboxy, sulfonylaminocarbamoyl, OH, acyloxy, alkoxycarbonyl, amino; R1-3 = H, halo, (substituted) alkyl, alkoxy, alkylthio; Y = divalent group; Z = atomic group required to form chroman or coumaran ring]. The material has a

heat-sensitive layer containing a diazo compound, a coupler, and I. The material showed improved light resistance.

IT 200701-51-5 200701-52-6 200701-55-9

200701-56-0 200701-57-1

RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)

(chroman compound for coupler of diazo thermal recording material with improved light resistance)

RN 200701-51-5 CAPLUS

CN Acetamide, N,N-dibutyl-2-[[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]-, [2R-[2R*(4R*,8R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A .

$$(n-Bu)_{2}N$$

$$O$$

$$Me$$

$$O$$

$$Me$$

$$(CH_{2})_{3}$$

$$Me$$

$$Me$$

$$Me$$

$$O$$

$$Me$$

PAGE 1-B

CHMe2

RN 200701-52-6 CAPLUS

CN Butanamide, N,N-dibutyl-4-[[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]-, [2R-[2R*(4R*,8R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 200701-55-9 CAPLUS

CN Carbamic acid, butyl-, 2-[[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]ethyl ester, [2R-[2R*(4R*,8R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 200701-56-0 CAPLUS

CN Carbamic acid, 1,5-pentanediylbis-, bis[2-[[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]ethyl] ester, [2R-[2R*(4R*,8R*),6[R*(4R*,8R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

$$(CH_2)_5$$
 $(CH_2)_5$ 
 $(CH_2)_3$ 
 $(CH_2)_3$ 
 $(CH_2)_3$ 
 $(CH_2)_3$ 

PAGE 1-C

200701-57-1 CAPLUS RN

Hexanamide, 6-[(3,4-dihydro-2,2,5,7,8-pentamethyl-2H-1-benzopyran-6-CN yl)oxy]-N,N-dipropyl- (9CI) (CA INDEX NAME)

$$(n-Pr)_2N-C-(CH_2)_5-O$$
Me
Me
Me
Me
Me
Me
Me
Me

#### IT 200701-53-7P

RL: DEV (Device component use); MOA (Modifier or additive use); SPN-(Synthetic preparation); PREP (Preparation); USES (Uses)

(chroman compound for coupler of diazo thermal recording material with improved light resistance)

200701-53-7 CAPLUS RN

Hexanamide, N, N-dibutyl-6-[[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-CN trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]-, [2R-[2R*(4R*,8R*)]]- (9CI)(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

L11 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:594559 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 127:234257

TITLE:

Preparation of 3-hydroxy-4-aminomethylpyridine derivative as Maillard reaction inhibitors

INVENTOR(S): Iyobe, Ryo; Kamata, Koji; Yazaki, Toshikazu; Fujikura,

Hideki; Kasai, Kiyoshi; Harada, Hiroshi; Sato,

Fumiyasu

Kissei Pharmaceutical Co., Ltd., Japan PATENT ASSIGNEE(S):

SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
				-	
JP 09221473	A2	19970826	JP 1996-325824		19961030
PRIORITY APPLN. INFO.:			JP 1995-354960	Α	19951030
OTHER SOURCE(S):	MARPAT	127:234257			

The title compds. [I; R1 - R3 = H, lower alkyl; A = lower alkylene; B = AB alkylene, alkenylene, alkynylene; R = H, OH, lower alkoxy, (un)substituted aryl, aryloxy, cycloalkyl, or heterocyclyl; B-R = aryl optionally having OH or lower alkoxy group as a substituent; Y = 0, S] or pharmacol. acceptable salts thereof are prepared They have different chemical structures as compared to known Maillard reaction inhibitors and are highly safe and are useful as preventives and remedies for Maillard reaction-related diseases such as diabetes complications and aging and also used in cosmetics and foods. Thus, 5-benzyloxymethyl-3-hydroxymethyl-2-methyl-4pyridinecarbaldehyde oxime (preparation given) was reduced by Zn powder in AcOH under stirring with ice-cooling for 2 h to give 4-aminomethyl-5benzyloxymethyl-3-hydroxy-2-methylpyridine (II). In an assay for inhibiting Maillard reaction, II and 4-aminomethyl-3-hydroxy-2-methyl-5octyloxymethylpyridine inhibited the formation of a protein dimer from lysozyme and fructose in 0.5 M sodium phosphate buffer (pH 7.4) by 46.6 and 93.7%, resp., at 0.2 mM and by 96.3 and 95.6%, resp., at 2 mM.

IT 195442-39-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of hydroxy(aminomethyl)pyridine derivs. as Maillard reaction inhibitors for prevention and treatment)

RN 195442-39-8 CAPLUS

Pyridine, 4-(azidomethyl)-5-[[2-[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]ethoxy]methyl]-3-(methoxymethoxy)-2-methyl- (9CI) (CA INDEX NAME)

## IT 195442-19-4P 195442-20-7P 195442-21-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of hydroxy(aminomethyl)pyridine derivs. as Maillard reaction inhibitors for prevention and treatment)

RN 195442-19-4 CAPLUS

CN 4-Pyridinemethanol, 5-[[2-[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]ethoxy]methyl]-3-(methoxymethoxy)-2-methyl- (9CI) (CA INDEX NAME)

RN 195442-20-7 CAPLUS

CN 4-Pyridinemethanamine, 5-[[2-[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]ethoxy]methyl]-3-(methoxymethoxy)-2-methyl- (9CI) (CA INDEX NAME)

RN 195442-21-8 CAPLUS

CN 4-Pyridinemethanol, 5-[[2-[3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]ethoxy]methyl]-3-(methoxymethoxy)-2-methyl-, methanesulfonate (ester) (9CI) (CA INDEX NAME)

L11 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:528056 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 127:230924

TITLE: Synthesis and properties of tocopherol-containing

polymeric vesicle systems

AUTHOR(S): Cho, Iwhan; Kim, Young Dae

CORPORATE SOURCE: Department Advanced Materials Engineering, Korea

Advanced Institute Science Technology, Seoul, 130, S.

Korea

SOURCE: Macromolecular Symposia (1997), 118, 631-640

CODEN: MSYMEC; ISSN: 1022-1360

PUBLISHER: Huethig & Wepf

DOCUMENT TYPE: Journal LANGUAGE: English

AB Two different tocopherol-containing amphiphilic monomers, {((tocopheryloxy)carbonyl]-methyl}[2-(methacryloyloxy)ethyl]dimethylammoni um chloride and {[(tocopheryloxy)penta(ethoxy)carbonyl]methyl}[2-(methacryloyloxy)ethyl]dimethylammonium chloride, were synthesized and polymerized The formation of polymeric closed vesicles having diams. of 200-5200 Å was confirmed by electron micrographs, entrapment of [14C]sucrose, permeability measurements, and gel filtration. The polymeric vesicles showed reduced permeability and enhanced thermodn. stability. Antioxidative activities were determined by the thiocyanate method confirming that polymeric tocopherols also exhibited significant activities.

# IT 195148-33-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses) (synthesis and properties of tocopherol-containing polymeric vesicle systems)

RN 195148-33-5 CAPLUS

CN 3,6,9,12,15-Pentaoxaheptadecan-1-aminium, 17-[[(2R)-3,4-dihydro-2,5,7,8-tetramethyl-2-[(4R,8R)-4,8,12-trimethyltridecyl]-2H-1-benzopyran-6-yl]oxy]-N,N-dimethyl-N-[2-[(2-methyl-1-oxo-2-propenyl)oxy]ethyl]-2-oxo-, chloride (9CI) (CA INDEX NAME)

 ${\bf Absolute\ stereochemistry.}$ 

PAGE 1-A

• cl-

PAGE 1-B

# IT 195148-39-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and properties of tocopherol-containing polymeric vesicle systems)

RN 195148-39-1 CAPLUS

CN Ethanaminium, 2-[[14-[[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]-3,6,9,12-tetraoxatetradec-1-yl]oxy]-N,N-dimethyl-N-[2-[(2-methyl-1-oxo-2-propenyl)oxy]ethyl]-2-oxo-, chloride, [2R-[2R*(4R*,8R*)]]-, homopolymer (9CI) (CA INDEX NAME)

CM 1

CRN 195148-33-5 CMF C49 H86 N O10 . C1

Absolute stereochemistry.

#### 195148-40-4 IT

RL: RCT (Reactant); RACT (Reactant or reagent) (synthesis and properties of tocopherol-containing polymeric vesicle systems)

195148-40-4 CAPLUS RN

Acetic acid, chloro-, 14-[[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-CN trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]-3,6,9,12-tetraoxatetradec-1yl ester, [2R-[2R*(4R*,8R*)]]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

Me_

PAGE 1-B

L11 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER:

1997:286357 CAPLUS <<LOGINID::20061025>> 126:263933

TITLE:

Preparation of substituted 2,2-dimethyl- $\omega$ -

phenoxyalkanoic acids and esters and their use as

hypolipemics and hypocholesteremics and as

antioxidants for LDL

INVENTOR(S):

Regnier, Gilbert; Guillonneau, Claude; Vilaine,

Jean-Paul; Mahlberg, Florence; Breugnot, Christine Adir Et Compagnie, Fr.

CODEN: EPXXDW

PATENT ASSIGNEE(S): SOURCE:

Eur. Pat. Appl., 20 pp.

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KINI		DATE	APPLICATION NO.	DATE
EP 763527	A1	19970319	EP 1996-401946	19960912
EP 763527	B1	20000426		

	R: AT,	BE, CH,	DE, DE	(, ES,	FI, E	FR, GE	GR,	IE,	IT,	LI,	LU.	NL.	PT.	SE
FR	2738817		A1	1997			1995-			,		99509		
FR	2738817		Bl	1997	1017									
CA	2185192		AA	1997	0315	CA	1996-	21851	92		1	99609	10	
CA	2185192		С	2001	0417									
AU	9665603		A1	1997	0320	AU	1996-	65603			1:	99609	12	
AU	707127		B2	1999	0701									
AT	192143		Ε	2000	0515	AT	1996-	40194	6		1 :	99609	12	
PT	763527		T	2000	0831	PT	1996-	40194	6		1 :	99609	12	
ES	2147907		Т3	2000	1001	ES	1996-	40194	6		1 :	99609	1,2	
NO	9603839		Α	1997	0317	NÔ	1996-	3839	٠		1	99609	13	
ИО	306715		B1	1999	1213							V		
ZA	9607755		Α	1997	0416	ZA	1996-	7755			1 !	99609	13	
CN	1149046		Α	1997	0507	CN	1996-	11156	1		1 9	99609	13	
CN	1064952		В	2001	0425									
US	5734077		A	1998	0331 ·	US	1996-	71366	5		1 9	99609	13	
JP	09132547		A2	1997	0520	JP	1996-	24461	5		15	99609	17	
GR	3033760		Т3	2000	1031	GR	2000-	40145	6		20	00006	23	
PRIORITY	APPLN. I	NFO.:				FR	1995-	10731		A	15	99509	14	
OTHER SO	URCE(S):		MARPAT	126:	263933	3								

The title compds. I [X = O, S, bond; A = bond, hydrocarbon chain; B = hydrocarbon chain; R = H, alkyl; R1, R3 = H; R1R3 = (CH2)n (n = 1, 2); R1 = Me, double bond with A; R2, R6 = H, Me; R4, R5 = alkyl; R7 = H, protecting group; Z = H, halo, alkyl, alkoxy] were prepared and their use as hypolipemics and hypocholesteremics and as antioxidants for LDL studied. E.g., reaction of 4-BrCH2CH2C6H4O(CH2)3CMe2CO2Et and 3,5,4-(Me3C)2(HO)C6H2SH gave the ester, which was hydrolyzed to 3,5,4-(Me3C)2(HO)C6H2SCH2CH2C6H4O(CH2)3CMe2CO2H-4. In protection against oxidation of LDL, I was 10-70 times more effective that the reference compds. probucol and trolox. As hypocholesteremics and hypotriglyceridemics, 6 of the compds. tested were as active as the reference compound bezafibrate.

### IT 167213-29-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of dimethylphenoxyalkanoic acids and their use as hypolipemics and hypocholesteremics and as antioxidants for LDL)

RN 167213-29-8 CAPLUS

CN 2H-1-Benzopyran-2-carboxaldehyde, 6-(ethoxymethoxy)-3,4-dihydro-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

# IT <u>188808-38-0P</u>

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of dimethylphenoxyalkanoic acids and their use as hypolipemics and hypocholesteremics and as antioxidants for LDL)

RN 188808-38-0 CAPLUS

Pentanoic acid, 5-[4-[[4-[6-(ethoxymethoxy)-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]-3-butenyl]oxy]phenoxy]-2,2-dimethyl-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{CH} \\ \text{O} \\ \text{(CH2)} \\ \text{3} \\ \text{EtO-CH2-O} \\ \text{Me} \\ \end{array}$$

L11 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:208116 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 126:246813

TITLE: Ionizable congeners of aromatic and aliphatic alcohols

as antileukemia agents and cytoprotectants

INVENTOR(S): Fariss, Marc W.

Virginia Commonwealth University, USA PATENT ASSIGNEE(S):

SOURCE:

U.S., 54 pp., Cont.-in-part of U.S. Ser. 5,336,485.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5610180	А	19970311	US 1994-286994	19940808
US 5198432	A	19930330	US 1991-678110	19910401
US 5336485	А	19940809	US 1993-28831	19930310
PRIORITY APPLN. INFO.:			US 1988-149762	B2 19880129
			US 1989-316789	B2 19890228
			US 1991-678110	A1 19910401
			US 1993-28831	A2 19930310
			US 1988-149764	B2 19880129

AB Ionizable congeners of aromatic and aliphatic alcs. provide potent cytoprotective properties in vivo and in vitro.  $\alpha$ -Tocopherol succinate, cholesteryl succinate, cholesteryl sulfate, dihydrocholesterol succinate, dihydrocholesterol sulfate, and ergosterol analogs are particularly good cytoprotective agents. In addition, the tris salts of these compds. have superior cytoprotective properties. Hepatoprotective activity of compds. of the invention is presented. The compds. may be also used for suppressing or preventing lymphoid or myeloid leukemias. Preparation of selected compds., e.g.  $\alpha$ -tocopherol monoglutarate, is described.

#### 188577-45-9 IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(aromatic and aliphatic alc. ionizable congeners for antileukemia agents and cytoprotective agents)

188577-45-9 CAPLUS RN

Poly(oxy-1,2-ethanediyl),  $\alpha$ -[4-[{3,4-dihydro-2,5,7,8-tetramethyl-2-CN  $(4,8,12-\text{trimethyltridecyl})-2H-1-\text{benzopyran}-6-yl]oxy]-1-oxobutyl]-\omega$ hydroxy- (9CI) (CA INDEX NAME)

HO 
$$CH_2-CH_2-O$$
  $n$   $C-(CH_2)_3-O$   $Me$   $Me$   $Me$   $(CH_2)_3-CH$   $Me$ 

L11 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:649797 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 125:275907

TITLE: Preparation of aryloxy(phenylpiperazinyl)propanols

with antiallergic activity

INVENTOR(S): Ogata, Kazumi; Sakaue, Takahiro; Ito, Kazuhiko; Nakao,

Hidetoshi

PATENT ASSIGNEE(S): Senju Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 17 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
EP 735030	A1 19961002	EP 1996-104768	19960326
R: AT, BE, CH,	DE, DK, ES, FI,	FR, GB, GR, IE, IT, I	LI, LU, MC, NL,
PT, SE			
CA 2172183	AA 19960930	CA 1996-2172183	19960320
JP 08325241 ·	A2 19961210	JP 1996-67941	19960325
US 5981530	A 19991109	US 1996-622003	19960326
PRIORITY APPLN. INFO.:		JP 1995-70985	A 19950329

OTHER SOURCE(S): MARPAT 125:275907

Title compds. I [Rl = benzene, naphthalene, quinoline, indole, or chroman that may be substituted by alkyl, alkoxy and/or hydroxy; R2, R3 = H, alkyl] were prepared Thus, 2-BrC6H4CO2Et was treated with N-benzylpiperazine, followed by 2-tert-butyl-4-methoxyphenoxymethyloxirane to give I [Rl = 4,2-MeO(Me3C)C6H3, R2 = H, R3 = Et] which was hydrolyzed to the acid. At 100 mg/kg orally in rats I [Rl = 4,2-MeO(Me3C)C6H3, R2 = R3 = H] gave 54.8% inhibition in the palpebral PCA test, cf. diphenhydramine. HCl 37.6 %.

## IT 182628-92-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aryloxy(phenylpiperazinyl)propanols with antiallergic activity)

RN 182628-92-8 CAPLUS

CN Benzoic acid, 2-[4-[3-[[[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]methoxy]-2-hydroxypropyl]-1-piperazinyl]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{N-CH}_2\text{-CH-CH}_2\text{-O-CH}_2\text{-O-CH}_2\text{-O-CH}_2 \\ \text{Ne} \\ \text{C-OEt} \\ \text{O} \\ \end{array}$$

L11 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:469711 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 125:113929

TITLE: Formation and utility of sulfonic acid protecting

groups for organic synthesis and for improvement of

drug bioavailability

INVENTOR(S): Roberts, John C.; Patch, Raymond J.

PATENT ASSIGNEE(S): Procept, Inc., USA SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9618609	A1	19960620	WO 1995-US15651	19951130
W: CA, JP, MX RW: AT, BE, CH,	DE. DK	. ES. FR. GB	, GR, IE, IT, LU,	MC NI PT SF
US 5596095	Α Α	19970121	US 1995-440547	19950512
PRIORITY APPLN. INFO.:			US 1994-353832	A 19941212

OTHER SOURCE(S): MARPAT 125:113929 The present invention is a method of protecting a sulfonic acid functional group in an organic mol. as a substituted or unsubstituted neopentyl sulfonate ester. The method allows the conversion of RSO3H to R'SO3H, wherein R and R' are different organic radicals. Also disclosed is a method of increasing the bioavailability of drugs with a sulfonic acid functional group by protecting the sulfonic acid functional group as a substituted neopentyl sulfonate ester which has a masked heteroatom nucleophile. The masked nucleophile can be liberated in vivo, resulting in removal of the neopentyl protecting group and regeneration of the parent drug. Thus, e.g., HOCH2CMe2CH2CH2NHCO2Bu-tert (I; N-BOC-2,2-dimethyl-4-aminobutyl alc. or Neon-B-OH) was prepared as a neopentyl protecting agent containing a masked nucleophilic heteroatom; treatment of RSO2Cl with I afforded RSO2OCH2CMe2CH2CH2NHCO2Bu-tert (II); liberation of the amino group of II with TFA followed by treatment with NH4OH provided RSO3-NH4+ + 3,3-dimethylpyrrolidine in quant. yield.

# IT 179419-09-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)

(formation and utility of sulfonic acid protecting groups for organic synthesis and for improvement of drug bioavailability)

RN 179419-09-1 CAPLUS

2-Naphthalenesulfonic acid, 5,5'-[2-[[2-[[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]ethyl]amino]-2-oxoethylidene]bis-, [2R-[2R*(4R*,8R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:902187 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 124:30061

TITLE: Synthesis of fluorine analogs of vitamin E. IV.

Synthesis of bis(trifluoromethyl)tocopherols

AUTHOR(S): Koyama, Mayumi; Takagi, Toshiyuki; Ando, Akira;

Kumadaki, Itsumaro

CORPORATE SOURCE: Fac. Pharmaceutical Sci., Setsunan Univ., Osaka,

573-01, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1995), 43(9),

1466-74

CODEN: CPBTAL; ISSN: 0009-2363
Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal LANGUAGE: English

PUBLISHER:

OTHER SOURCE(S): CASREACT 124:30061

AB Mono(trifluoromethyl)tocopherols, which were used for investigation of the mobility and orientation of tocopherol in liposomes by 19F-NMR were previously synthesized. For more precise investigation of the behavior of vitamin E in liposomes, tocopherols having two trifluoromethyl groups, one on the prenyl side chain and the other on the chromanol ring, were synthesized. Thus, dimethylhydroquinones were treated with 6-chloro-3-methyl-2-hexenol in the presence of zinc chloride to give 2-(3-chloropropyl)trimethylchromanol derivs. These were converted to phosphonium salts, which, upon condensation with trifluoromethylated ketones followed by hydrogenation, gave tocopherols with a trifluoromethyl group on the side chain and a hydrogen on the chromanol part. These were halogenated on the chromanol part and treated with trifluoromethyl iodide and copper powder to give the title compds.

IT 171566-75-9P 171566-76-0P 171566-77-1P 171566-84-0P 171566-85-1P 171566-86-2P 171566-87-3P 171566-88-4P 171566-89-5P 171566-90-8P 171566-91-9P 171566-92-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of bis(trifluoromethyl)tocopherols)

RN 171566-75-9 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,7-trimethyl-2-(5,5,5-trifluoro-4-methyl-3-pentenyl)-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 171566-76-0 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,7,8-trimethyl-2-(5,5,5-trifluoro-4-methyl-3-pentenyl)-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 171566-77-1 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,8-trimethyl-2-(5,5,5-trifluoro-4-methyl-3-pentenyl)-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 171566-84-0 CAPLUS

CN 2H-1-Benzopyran, 2-[8,12-dimethyl-4-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-B

- CH $_2$ - CH= CMe $_2$ 

RN 171566-85-1 CAPLUS

CN 2H-1-Benzopyran, 2-[4,12-dimethyl-8-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7-trimethyl- (9CI) (CA INDEX NAME)

 $-CH_2-CH=CMe_{2'}$ 

RN 171566-86-2 CAPLUS

CN 2H-1-Benzopyran, 2-[4,8-dimethyl-12-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-}\text{CH}_2\text{-$$

PAGE 1-B

$$-CH_2-CH = C-CF_3$$

RN 171566-87-3 CAPLUS

CN 2H-1-Benzopyran, 2-[8,12-dimethyl-4-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,7,8-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

 $-CH_2-CH=CMe_2$ 

RN 171566-88-4 CAPLUS

CN 2H-1-Benzopyran, 2-[4,12-dimethyl-8-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,7,8-trimethyl- (9CI) (CA INDEX NAME)

 $-CH_2-CH=-CMe_2$ 

RN 171566-89-5 CAPLUS
CN 2H-1-Benzopyran, 3,4-dihydro-

2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,7,8-trimethyl-2-(13,13,13-trifluoro-4,8,12-trimethyl-3,7,11-tridecatrienyl)- (9CI) (CA INDEX NAME)

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PAGE 1-B

RN 171566-90-8 CAPLUS

CN 2H-1-Benzopyran, 2-[8,12-dimethyl-4-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,8-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

-CH $_2$ -CH=CMe $_2$ 

RN 171566-91-9 CAPLUS

CN 2H-1-Benzopyran, 2-[4,12-dimethyl-8-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,8-trimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

 $-CH_2-CH=-CMe_2$ 

RN 171566-92-0 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,8-trimethyl-2-(13,13,13-trifluoro-4,8,12-trimethyl-3,7,11-tridecatrienyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

$$-CH2-CH=C-CF3$$

L11 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1995:787156 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

123:198785

TITLE:

Preparation of thiazolidine derivatives with aldose

reductase-inhibitory activity

INVENTOR(S):

Yoshioka, Takao; Kitazawa, Eiichi; Kurumada, Tomoyuki;

Fujita, Takeshi; Kanai, Tsutomu; Yamazaki, Mitsuo;

Hasegawa, Kazuo; Horikoshi, Hiroyoshi

PATENT ASSIGNEE(S):

Sankyo Co, Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 187 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent Japanese

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07002852	A2	19950106	JP 1994-994	19940110
JP 08002900	B4	19960117		
PRIORITY APPLN. INFO.:			JP 1994-994	19940110
OTHER SOURCE(S):	MARPAT	123:198785		
AB The title compds.	[T: R] =	H. (un)subs	tituted aralkyl or	cycloalkyl: R2.

AB The title compds. [I; R1 = H, (un)substituted aralkyl or cycloalkyl; R2, R6, R7 = H, alkyl; R3 = H, HO-protecting group; R4 = H, alkyl,

(un) substituted aralkyl, cycloalkyl, or aryl, alkoxy; R5 = H, alkyl, alkoxy; R8 = H, (un)substituted alkyl; R9 = (un)substituted alkyl; Ar = (un) substituted bivalent aromatic or heterocyclic group; W = CH2, CO, CH(OR3a), N(OV), N(R3b); wherein R3a = H, HO-protecting group; V = H, (un) substituted alkyl or aralkyl; R3b = HO-protecting in R3a or R3b and U together form a double bond; U = single bond, CH2; or U and W together form a double bond; n = 1-10 integer; Y = 0, NH; Z = 0, NH; when W is CH2, Z may be S], which also have activities for improving the metabolism of blood lipid and sugar and are useful for the treatment of hyperlipidemia, diabetes, and diabetes complications (no data), are prepared Thus, a mixture of 2.1 g Et 3-[4-(6-acetoxy-5,7,8-trimethyl-2-octylchroman-2ylmethoxy)phenyl]-2-chloropropionate, 0.35 g thiourea, and 2.5 mL sulfolane was heated at 120-130° for 7 h to give a 2,4-thiazolidinedione derivative (II; R = H), which was alkylated by tert-Bu bromoacetate in the presence of K2CO3 in acetone at room temperature for 22 h to give a title compound II (R = CH2CO2CMe3).

RN

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate for preparation of [[(chromanylalkoxy)heterocyclyl and -aryl]alkyl]thiazolidinedione derivs. as aldose reductase inhibitors) 167630-28-6 CAPLUS
Acetic acid [[2-[[4-[]2 4-dioxo-5-thiazolidinyl]methyl]hebenoxylmothyl]-

Acetic acid, [[2-[[4-{(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]-, monosodium salt (9CI) (CA INDEX NAME)

Na

RN 167630-37-7 CAPLUS
CN Acetic acid, [[2-[2-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]ethyl]3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]-, ethyl ester
(9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{CH}_2 - \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{EtO-C-CH}_2 - \text{O} \\ \text{Me} \\ \end{array}$$

RN 167630-38-8 CAPLUS
CN Acetic acid, [[2-[[[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-pyridinyl]oxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

RN 167630-40-2 CAPLUS

CN Butanoic acid, 4-[[2-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{CH}_2 - \text{O} \\ \text{Me} \end{array}$$

RN 167630-46-8 CAPLUS

CN Acetic acid, [[2-[2-[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]ethyl]-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{CH}_2\text{-CH}_2\text{-O} \\ \text{Me} \\ \text{HO}_2\text{C}\text{-CH}_2\text{-O} \\ \text{Me} \\ \end{array}$$

RN 167630-47-9 CAPLUS

CN Acetic acid, [[2-[[[5-[(2,4-dioxo-5-thiazolidinyl)methyl]-2-pyridinyl]oxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{CH}_2 - \text{O} \\ \text{N} \\ \text{CH}_2 - \text{O} \\ \text{N} \\ \text{O} \\ \text{O} \\ \text{N} \\ \text{O} \\ \text$$

RN 167630-49-1 CAPLUS

CN Butanoic acid, 4-[[2-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]- (9CI) (CA INDEX NAME)

$$Me$$
 $Me$ 
 $CH_2-O$ 
 $CH_2-O$ 
 $N$ 
 $HO_2C-(CH_2)_3-O$ 
 $Me$ 
 $Me$ 

RN 167630-50-4 CAPLUS

CN Acetamide, 2-[[2-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{H}_2\text{N}-\text{C}-\text{CH}_2-\text{O} \\ \text{Me} \end{array}$$

RN 167630-51-5 CAPLUS

Piperidine, 1-[[[2-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

RN 167630-52-6 CAPLUS

CN Morpholine, 4-[[2-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]acetyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} N = C + CH_2 + C$$

RN 167630-56-0 CAPLUS

CN Acetic acid, [[2-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-6-yl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{Me} \end{array}$$

L11 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1

1995:767387 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER:

123:169349

TITLE:

Preparation of anticholesteremic, antihyperlipidemic

and antiatherosclerotic substituted (phenoxy)isobutyric acids and esters.

INVENTOR(S):

Regnier, Gilbert; Guillonneau, Claude; Vilaine,

Jean-Paul; Lenaers, Albert; Breugnot, Christine

PATENT ASSIGNEE(S): Adir et Cie., Fr.

SOURCE: Eur. Pat. Appl., 33 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

rre

PAMILI ACC. NUM. COUNT:

PATENT	INFORMATION:
F 🐸 T PILLT	THEOMATICAL

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 621255 EP 621255	A1 B1	19941026 19970820	EP 1994-400845	19940419
R: AT, BE, CH,	DE, DK	, ES, FR, GB	, GR, IE, IT, LI, LU,	NL, PT, SE
FR 2704224	A1	19941028	FR 1993-4606	19930420
FR 2704224	B1	19950825		
CA 2121571	AA	19941021	CA 1994-2121571	19940418
CA 2121571	С	20000801		
AU 9460533	A1	19941027	AU 1994-60533	19940418
AU 667266	B2	19960314		
US 5512595	A·	19960430	US 1994-230143	19940419
AT 157077	E	19970915	AT 1994-400845	19940419
ES 2105549	T3	19971016	ES 1994-400845	19940419
JP 06340580	A2	19941213	JP 1994-81869	19940420
JP 2885639	B2	19990426		
ZA 9402728	A	19950209	ZA 1994-2728	19940420
US 5627205	А	19970506	US 1995-510857	19950803
PRIORITY APPLN. INFO.:			FR 1993-4606	A 19930420
			US 1994-230143	A3 19940419
AMILED AND AND LOV	147000	100 100010		

OTHER SOURCE(S): MARPAT 123:169349

The title compds. [I; A = direct bond, (un)substituted (un)branched C1-9 divalent hydrocarbyl, etc.; R = H, (un)branched (un)substituted C1-6 alkyl; R1= H, Me; R2, R6 = H, Me; R4, R5 = (un)branched C1-6 alkyl; R7 = H, Ac, EtoCH2, PhCH2; X = O, direct bond; Z = H, halogen, alkyl, alkoxy; R1R3 = (CH2)n; n = 1, 2; etc.], useful as anticholesteremics, antihyperlipidemics, and antiatherosclerotics, are prepared Thus, 2-[4-[2-(3,5-di-tert-butyl-4-hydroxyphenylthio)ethyl]phenoxy]isobutyric acid was prepared from 4-hydroxy-3,5-di-tert-butylphenylthiol and demonstrated a IC50 against the peroxidn. of human LDL by endothelial cells of 3 x 10-9 M.

## IT 167213-29-8 167213-30-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of anticholesteremic, antihyperlipidemic and antiatherosclerotic substituted (phenoxy) isobutyric acids and esters)

RN 167213-29-8 CAPLUS

CN 2H-1-Benzopyran-2-carboxaldehyde, 6-(ethoxymethoxy)-3,4-dihydro-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

RN 167213-30-1 CAPLUS

Propanoic acid, 2-[4-[3-[6-(ethoxymethoxy)-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]-2-propenyl]phenoxy]-2-methyl-, ethyl ester, (Z)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

#### IT 167213-33-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of anticholesteremic, antihyperlipidemic and

antiatherosclerotic substituted (phenoxy) isobutyric acids and esters)

RN 167213-33-4 CAPLUS

Propanoic acid, 2-[4-[6-[6-(ethoxymethoxy)-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]-5-hexenyl]phenoxy]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)

L11 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:439562 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 122:265699

TITLE: Synthesis of fluorine analogs of vitamin E. III.

Synthesis of 2-[4,8-dimethyl-12-

(trifluoromethyl)tridecyl]-2,5,7,8-tetramethyl-6-

chromanol and 2-[4,12-dimethyl-8-

(trifluoromethyl)tridecyl]-2,5,7,8-tetramethyl-6-

chromanol

AUTHOR(S): Koyama, Mayumi; Tamura, Mihoko; Ando, Akira; Kumadaki,

Itsumaro

CORPORATE SOURCE: Faculty Pharmaceutical Sciences, Setsunan University,

Osaka, 573-01, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1994), 42(10),

2154-6

CODEN: CPBTAL; ISSN: 0009-2363
Pharmaceutical Society of Japan

PUBLISHER: Pharmace
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:265699

AB 2-[4,8-Dimethyl-12-(trifluoromethyl)tridecyl]-2,5,7,8-tetramethyl-6-chromanol and 2-[4,12-dimethyl-8-(trifluoromethyl)tridecyl]-2,5,6,8-tetramethyl-6-chromanol, were synthesized by means of the Wittig reaction using the phosphonium salt of 2-(3-chloropropyl)-2,5,7,8-tetramethyl-6-chromanol.

IT <u>162827-17-0P</u> <u>162827-18-1P</u> <u>162827-19-2P</u> <u>162827-21-6P</u> <u>162827-22-7P</u> <u>162827-23-8P</u>

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of tridecyltetramethylchromanols)

RN 162827-17-0 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2-(13,13,13-trifluoro-4,8,12-trimethyl-3,7,11-tridecatrienyl)-, (E,E,E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

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__ CF3

RN 162827-18-1 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2-(13,13,13-trifluoro-4,8,12-trimethyl-3,7,11-tridecatrienyl)-, (Z,E,E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 162827-19-2 CAPLUS

CN 2H-1-Benzopyran, 3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2-(13,13,13-trifluoro-4,8,12-trimethyltridecyl)- (9CI) (CA INDEX NAME)

Me Me Me Me Me Me Me 
$$CH_2$$
) 3-CH- $(CH_2)$  3-CH- $(CH_2)$  3-CH- $CE_3$  MeO- $CH_2$ -O Me

RN 162827-21-6 CAPLUS

CN 2H-1-Benzopyran, 2-[4,12-dimethyl-8-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-, (Z,E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 162827-22-7 CAPLUS

CN 2H-1-Benzopyran, 2-[4,12-dimethyl-8-(trifluoromethyl)-3,7,11tridecatrienyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-, (E,E,E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 162827-23-8 CAPLUS

CN 2H-1-Benzopyran, 2-[4,12-dimethyl-8-(trifluoromethyl)tridecyl]-3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)

Me Me 
$$(CH_2)_3$$
 -  $CH$  -  $(CH_2)_3$  -  $($ 

L11 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:707991 CAPLUS <<LOGINID::20061025>>

DOCUMENT NUMBER: 121:307991

TITLE: Cosmetic compositions containing quaternary ammonium

derivatives of vitamin E

INVENTOR(S): Kim, Young Dea

PATENT ASSIGNEE(S): Pacific Chemical Co. Ltd., S. Korea

SOURCE: Fr. Demande, 30 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2701478	A1	19940819	FR 1993-1673	19930215
FR 2701478	B1	19951013		
PRIORITY APPLN. INFO.:			FR 1993-1673	19930215
OTHER SOURCE(S):	MARPAT	121:307991		

AB Cosmetic compns. containing quaternary ammonium derivs. of vitamin E are prepared This compds. have good dispersibility in water and can be used as surfactants. Polyoxyethylene vitamin E in isopropanol was heated with a 70% solution of 2,3-epoxypropyl trimethylammonium chloride at 55-60° for 8 h to obtain quaternary ammonium derivs. of vitamin E which was purified and separated The surface tension of the above compound was 58.9 as

### 10/644,418

compared to 37.5 dyne/cm for polyoxyethylene cholesterol.

# IT 159189-95-4P 159189-96-5P 159189-97-6P

RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(cosmetic compns. containing quaternary ammonium derivs. of vitamin E)

RN 159189-95-4 CAPLUS

CN 3,6,9,12,15-Pentaoxaoctadecan-18-aminium, 1-[[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]-17-hydroxy-N,N,N-trimethyl-, chloride (9CI) (CA INDEX NAME)

PAGE 1-A

C1 -

PAGE 1-C

- CHMe2

RN 159189-96-5 CAPLUS

CN 3,6,9,12,15,18,21,24-Octaoxaheptacosan-27-aminium, 1-[[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]oxy]-26-hydroxy-N,N,N-trimethyl-, chloride (9CI) (CA INDEX NAME)

- CH₂-O-CH₂-CH₂-O-CH₂-CH₂-O-CH₂-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-CH₂-O-C

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RN 159189-97-6 CAPLUS CN Poly(oxy-1,2-ethanediyl),  $\alpha$ -[3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl]- $\omega$ -[2-hydroxy-3-(trimethylammonio)propoxy]-, chloride (9CI) (CA INDEX NAME)

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